

10/734,949 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	508	((514/266.3) or (544/286)).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/07/12 14:06
L2	107	L1 and (benzyloxy or phenylethyloxy)	US-PGPUB; USPAT	OR	OFF	2005/07/12 14:06

10/ 734,949

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NEWS	3 FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4 FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5 MAR 02	GBFULL: New full-text patent database on STN
NEWS	6 MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7 MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8 MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9 MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10 MAR 22	PATDPASPC - New patent database available
NEWS	11 MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12 APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13 APR 04	EMBASE - Database reloaded and enhanced
NEWS	14 APR 18	New CAS Information Use Policies available online
NEWS	15 APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16 APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS
NEWS	17 MAY 23	GBFULL enhanced with patent drawing images
NEWS	18 MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19 JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20 JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21 JUN 13	FRFULL enhanced with patent drawing images
NEWS	22 JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23 JUL 01	MEDICONF removed from STN
NEWS	24 JUL 07	STN Patent Forums to be held in July 2005
NEWS EXPRESS	JUNE 13	CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:31:57 ON 12 JUL 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:32:07 ON 12 JUL 2005

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 JUL 2005 HIGHEST RN 854584-06-8

DICTIONARY FILE UPDATES: 11 JUL 2005 HIGHEST RN 854584-06-8

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

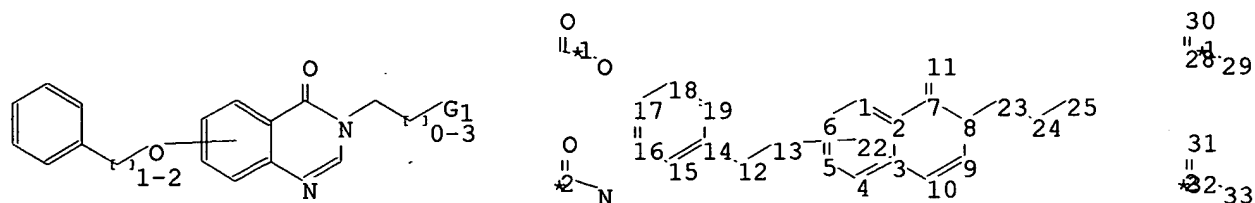
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10734949.str



chain nodes :

11 12 13 23 24 25 28 29 30 31 32 33

ring nodes :

1 2 3 4 5 6 7 8 9 10 14 15 16 17 18 19

chain bonds :

7-11 8-23 12-13 12-14 23-24 24-25 28-29 28-30 31-32 32-33

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 14-15 14-19 15-16 16-17
17-18 18-19

exact/norm bonds :

2-7 3-10 7-8 7-11 8-9 8-23 9-10 12-13 24-25 28-29 28-30 31-32 32-33

exact bonds :

12-14 23-24

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

isolated ring systems :

containing 1 : 14 :

G1:O,Ph,CN,N,[*1],[*2]

Match level :

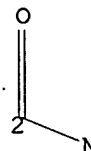
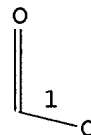
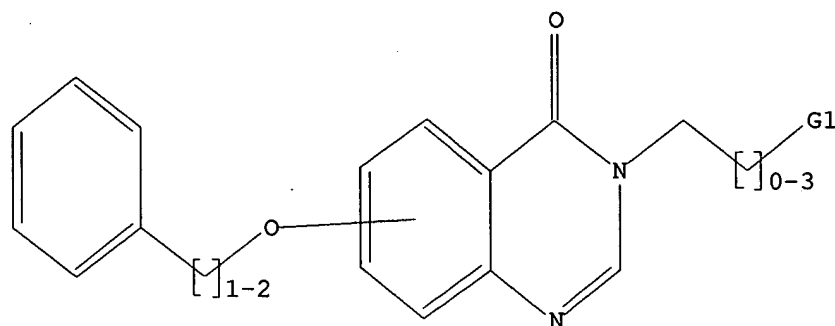
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
22:CLASS 23:CLASS 24:CLASS 25:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O, Ph, CN, N, [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sample

SAMPLE SEARCH INITIATED 13:32:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4233 TO ITERATE

47.2% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 80759 TO 88561
PROJECTED ANSWERS: 1 TO 129

L2 1 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 13:32:57 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 87656 TO ITERATE

100.0% PROCESSED 87656 ITERATIONS
SEARCH TIME: 00.00.04

45 ANSWERS

L3 45 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

161.76

161.97

FILE 'CAPLUS' ENTERED AT 13:33:05 ON 12 JUL 2005

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FILE COVERS 1907 - 12 Jul 2005 VOL 143 ISS 3
FILE LAST UPDATED: 11 Jul 2005 (20050711/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 43 L3

=> d his

(FILE 'HOME' ENTERED AT 13:31:57 ON 12 JUL 2005)

FILE 'REGISTRY' ENTERED AT 13:32:07 ON 12 JUL 2005

L1 STRUCTURE UPLOADED

L2 1 S L1 SAMPLE

L3 45 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:33:05 ON 12 JUL 2005

L4 43 S L3

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 43 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2005:141059 CAPLUS

DOCUMENT NUMBER: 142:240453

TITLE: Preparation of quinazolines as inhibitors of VEGF

receptor tyrosine kinases

INVENTOR(S): Hennequin, Laurent Francois Andre

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014582	A1	20050217	WO 2004-GB3376	20040805
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

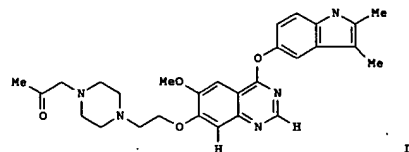
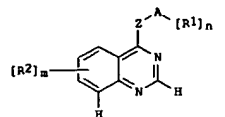
GB 2003-18422

A 20030806

OTHER SOURCE(S): MARPAT 142:240453

G1

L4 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB Title compds. I [wherein A = 8, 9, 10, 12, or 13-membered bicyclic or tricyclic (un)saturated (non)aromatic; Z = O, NH, S; n = 0-5; m = 0-3; R2 = each independently H, OH, halo, CN, NO2, CF3, alkyl, alkoxy, etc.; R1 = each independently H, Me, F; and their salts] were prepared for the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm blooded animals. Thus, II was prepared by O-alkylation of 2,3-dimethyl-5-hydroxyindole with 4-chloro-7-(2-chloroethoxy)-6-methoxyquinazoline (preparation given), and amination of the chloride with 1-(acetylmethyl)piperazine. I inhibited gene flt-1 and KDR VEGF receptor tyrosine kinase, FGFR, and EGFR receptor with IC50 values < 5 µM in an in vivo test. I inhibited the growth factor-stimulated proliferation of HUVEC cells with IC50 values in the range of 0.001 - 5 µM. II displayed an IC50 = 10.1 µM in an hERG-encoded potassium channel inhibition test. I and their pharmaceutically acceptable salts are useful for treating disease states associated with angiogenesis and/or increased vascular permeability, for e.g. cancer and rheumatoid arthritis.

IT 193002-24-3P, 7-Benzoyloxy-6-methoxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one

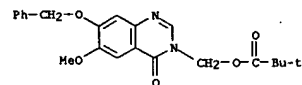
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate) preparation of quinazolines as inhibitors of VEGF receptor tyrosine kinases and their use for treating angiogenesis and/or increased vascular permeability)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:1059177 CAPLUS

DOCUMENT NUMBER: 142:38269

TITLE: Preparation of (3-((quinazolin-4-yl)amino)-1H-pyrazol-1-yl)acetamide derivatives and related compounds as

aurora kinase inhibitors for the treatment of proliferative diseases such as cancer

INVENTOR(S): Mortlock, Andrew Austen; Heron, Nicola Murdoch; Jung, Frederic Henri; Pasquet, Georges Rene

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105764	A1	20041209	WO 2004-GB2281	20040527
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

EP 2003-291314

A 20030602

OTHER SOURCE(S): MARPAT 142:38269

G1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinazoline derivs. I [X = O, NR6; R1-R4 = independently H, halo, X1R7; R5 = optionally substituted aryl, heteroaryl; R6 = H, Cl-4 alkyl; X1 = bond, O, NH, W(C1-6 alkyl); R7 = H, optionally substituted heterocyclyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl] for use in the treatment of proliferative diseases such as cancer and in the preparation of medicaments for use in the treatment of proliferative diseases,

and to processes for their preparation, as well as pharmaceutical compns. containing, them as active ingredient. Thus, coupling of chloroquinazoline

II (preparation given) with aminopyrazole III (preparation given), followed by substitution with D-prolinol gave title compound IV.

IT 557771-41-2P

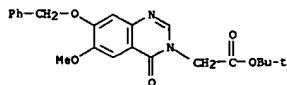
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (quinazolinylamino)pyrazolylacetamide derivs. as aurora kinase inhibitors and anticancer agents)

RN 557771-41-2 CAPLUS

CN 3(4H)-Quinazolinacetic acid, 6-methoxy-4-oxo-7-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



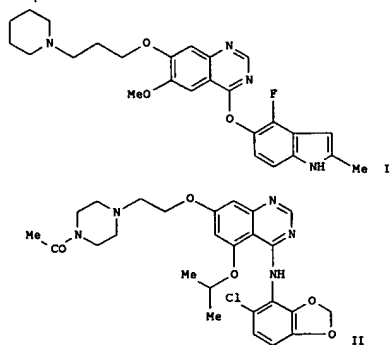
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:995977 CAPLUS
 DOCUMENT NUMBER: 141:420417
 TITLE: Therapeutic agents comprising an anti-angiogenic agent in combination with an Src inhibitor for use in normotensive treatment of angiogenesis
 INVENTOR(S): Curwen, Jon Owen; Wedge, Stephen Robert
 PATENT ASSIGNEE(S): AstraZeneca AB, Sweden; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098604	A1	20041118	WO 2004-GB1939	20040504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SE, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, BG, CZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO:			GB 2003-10401	A 20030507
GI				

L4 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



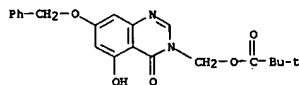
AB The invention relates to the use of an anti-angiogenic agent, such as I (preparation given), in combination with an inhibitor of the Src family of non-receptor tyrosine kinases, such as the II (preps. according to a previous patent given), in the manufacture of a medicament for use in the substantially normotensive treatment in a warm-blooded mammal such as a human being of a disease state associated with angiogenesis. The invention provides for the Src kinase inhibitor to be administered in an amount effective to counteract substantially the hypertension induced by the anti-angiogenic agent. Thus, 7-(2-chloroethoxy)-4-(6-chloro-2,3-methylenedioxyanilino)-5-isopropoxyquinazoline was coupled with 1-acetylpiperazine using KI in DMA to give I. The diastolic blood pressure profile of rats over a 24 h period after administration of a combination of 1.5 mg/kg of I and 25 mg/kg of II demonstrated that the contrasting blood pressure effects of the antiangiogenic agent and the Src kinase inhibitor were substantially counterbalanced.

IT 379229-61-5, 7-Benzoyloxy-5-hydroxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (therapeutic agents comprising an anti-angiogenic agent in combination with an Src inhibitor for use in normotensive treatment of angiogenesis)

RN 379229-61-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



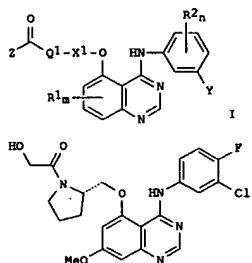
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:965069 CAPLUS
 DOCUMENT NUMBER: 141:410946
 TITLE: Preparation of (anilino)quinazoline derivatives as erbB tyrosine kinase inhibitors for the treatment of cancer
 INVENTOR(S): Hennequin, Laurent Francois Andre; Plowright, Alleyne
 PATENT ASSIGNEE(S): AstraZeneca AB, Sued.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 131 pp.
 CODE: FIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096226	A1	20041111	WO 2004-GB1799	20040427
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPL. INFO.:			GB 2003-9850	A 20030430
OTHER SOURCE(S):		MARPAT 141:410946		

GI



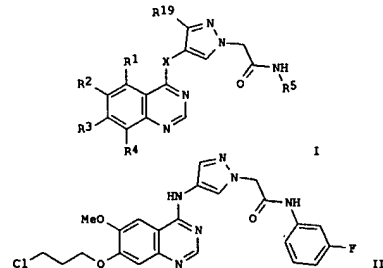
II

L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:927198 CAPLUS
 DOCUMENT NUMBER: 141:395569
 TITLE: Quinazoline derivatives as aurora kinase inhibitors, process for their preparations, pharmaceutical compositions and uses in the treatment of proliferative diseases
 INVENTOR(S): Heron, Nicola Murdoch; Pasquet, Georges Rene; Mortlock, Andrew Austen; Jung, Frederic Henri
 PATENT ASSIGNEE(S): AstraZeneca AB, Sued.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 300 pp.
 CODE: FIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094410	A1	20041104	WO 2004-GB1614	20040414
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPL. INFO.:			EP 2003-290951	A 20030416
OTHER SOURCE(S):		MARPAT 141:395569		

GI

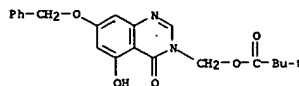


II

AB Quinazoline derivs. of formula I [wherein X = O, NH or N(alkyl); R1-R4 =

L4 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. represented by the formula I [wherein R1 = independently HO, alkoxy, alkenyloxy, alkynyloxy, etc.; R2 = independently halo, cyano, nitro, carbamoyl, etc.; X1 = (alkyl)methylene; Q1 = pyrrolidinyl; Z = (halo)alkyl, alkoxyalkyl, (alkyl)aminoalkyl, etc.; m = 0-2; Y = halo, cyano, CF3, alkyl, etc.; n = 0-4; and pharmaceutically acceptable salts thereof] were prepared as erbB tyrosine kinase inhibitors, particularly EGFR tyrosine kinase inhibitors. For example, II was given in a multi-step synthesis starting from N-(3-chloro-4-fluorophenyl)-7-methoxy-5-((2S)-pyrrolidin-2-ylmethoxy)quinazolin-4-amine. Selected I were tested for inhibition of EGFR and erbB2 tyrosine kinase protein phosphorylation, and EGFR driven KB cell proliferation. Thus, I and their pharmaceutical compns. are useful for the treatment of erbB tyrosine kinase mediated diseases such as cancer (no data).
 IT 379229-61-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 4-anilinoquinazoline derivs. as erbB tyrosine kinase inhibitors for treatment of cancer)
 RN 379229-61-5 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

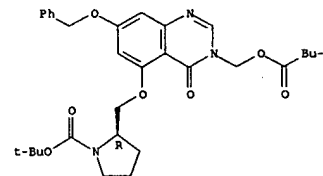


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

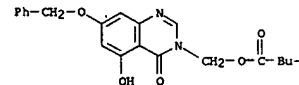
L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

H, halo or alkoxy; R2 = nitro, cyano, OPO3H2; R3 = phosphonoalkoxy; R5 = (un)substituted (hetero)aryl; R19 = H, alkyl, acyl, amide, ester, etc.; and salts, esters or prodrugs thereof] were prep. as aurora kinase inhibitors. Thus, II was synthesized in 95% yield by condensation of the corresponding 4-chloroquinazoline deriv. (prepn. given) with 4-aminopyrazole deriv. (prepn. given). Compds. I generally showed 50% inhibition activity at the concns. of 1-1000 nM against both aurora-A and aurora-B kinases, and were active in the in vitro cell proliferation assay and in the in vitro cell cycle anal. assay at the concns. of 1 nM to 100 µM and 1 nM to 10 µM, resp. Also disclosed are processes for the prepn. of I, pharmaceutical compns. comprising I and uses of I for the treatment of proliferative diseases such as cancer.
 IT 786684-90-0P, tert-Butyl (2R)-2-[[[3-(benzylloxy)-3-[[[2,2-dimethylpropanoyl]oxy]methyl]-4-oxo-3,4-dihydroquinazolin-5-yl]oxy]methyl]pyrrolidine-1-carboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of quinazoline derivs. as aurora kinase inhibitors)
 RN 786684-90-0 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[3-[[[2,2-dimethyl-1-oxopropoxy]methyl]-3,4-dihydro-4-oxo-7-(phenylmethoxy)-5-quinazolinyl]oxy]methyl]-1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 379229-61-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of quinazoline derivs. as aurora kinase inhibitors)
 RN 379229-61-5 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

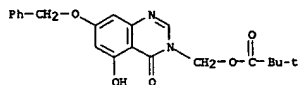
ACCESSION NUMBER: 2004:857372 CAPLUS
DOCUMENT NUMBER: 141:350196
TITLE: Preparation of quinazoline derivatives as selective Src kinase inhibitors
INVENTOR(S): Curven, Jon Owen
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087120	A2	20041014	WO 2004-GB1286	20040323
WO 2004087120	A3	20050127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW
RW: BV, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-7333 A 20030329
AB The invention relates to the use of quinazoline derivative as a Src kinase inhibitor in the production of a medicament for use in the prophylaxis or treatment of hypertension. More particularly, the invention concerns the anti-hypertensive use of a selective Src kinase inhibitor that possesses less potent VEGF receptor tyrosine kinase inhibitory properties. The invention also relates to a combination product comprising a Src kinase inhibitor and one or more further anti-hypertensive agents and to the use of Src kinase inhibitors as primary regulators of cardiovascular disease and in the prevention of stroke. For example, 7-[2-(4-acetylpiperazin-1-yl)ethoxy]-4-(5-chloro-2,3-methylenedioxy-pyrid-4-ylamino)-5-isopropoxyquinazoline administered to rats at 25 mg/kg p.o. on day 1 showed hypotensive effect of 25 mmHg on day 2.
IT 379229-61-5, 7-Benzoyloxy-5-hydroxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of quinazoline derivs. as selective Src kinase inhibitors and regulators of cardiovascular disease for prophylaxis or treatment of hypertension or for prevention of stroke)
RN 379229-61-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

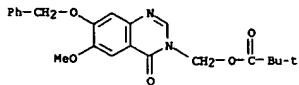
ACCESSION NUMBER: 2004:701950 CAPLUS
DOCUMENT NUMBER: 141:200165
TITLE: ZD6474 combination with 5-FU and/or CPT-11 for the treatment of cancer
INVENTOR(S): Wedge, Stephen Robert; Ryan, Anderson Joseph
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071397	A2	20040826	WO 2004-GB550	20040211
WO 2004071397	A3	20041014		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SJ, SZ, TZ, UG, ZH, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-3289 A 20030213
GB 2003-14100 A 20030618
GB 2003-16184 A 20030710
GB 2003-18311 A 20030805
AB The invention relates to a method for the production of an antiangiogenic and/or vascular permeability-reducing effect in a warm-blooded animal, e.g. a human, which is optionally being treated with ionizing radiation, particularly a method for the treatment of a cancer, particularly a cancer involving a solid tumor, which comprises one of: the administration of ZD6474 in combination with 5-FU; the administration of ZD6474 in combination with 5-FU and CPT-11; and the administration of ZD6474 in combination with 5-FU and CPT-11; to a pharmaceutical composition comprising one of: ZD6474 and 5-FU; ZD6474 and CPT-11; and ZD6474 and 5-FU and CPT-11; to a combination product comprising one of: ZD6474 and 5-FU; ZD6474 and CPT-11; and ZD6474 and 5-FU and CPT-11; for use in a method of treatment of a human or animal body by therapy; to a kit comprising one of: ZD6474 and 5-FU; ZD6474 and CPT-11; and ZD6474 and 5-FU and CPT-11; to the use of one of: ZD6474 and 5-FU; ZD6474 and CPT-11; and ZD6474 and 5-FU and CPT-11, in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal, e.g. a human, which is optionally being treated with ionizing radiation. Preparation of ZD6474 is described.
IT 193002-24-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(ZD6474 combination with 5-FU and/or CPT-11 for treatment of cancer)
RN 193002-24-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

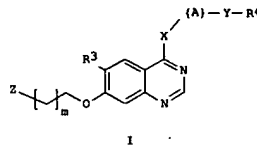
L4 ANSWER 7 OF 43 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 8 OF 43 CAPIUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:566625 CAPIUS
 DOCUMENT NUMBER: 141:123758
 TITLE: Preparation of phosphonoxy quinazoline derivatives as therapeutic agents
 INVENTOR(S): Mortlock, Andrew Austen
 PATENT ASSIGNEE(S): Astrazeneca Ab, Sweden; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058782	A1	20040715	WO 2003-085640	20031222
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPL. INFO.: MARPAT 141:123758				
OTHER SOURCE(S):				
GI				



AB Preparation of phosphonoxy quinazolinone derivs. I (A = 6-membered heterocaryl containing nitrogen atom and optionally containing one or two further nitrogen atoms; X = O, S, S(O), S(O)2, organoamino; m = 0-4; Y = O, carbonylamido, etc.; Z = organoamino, phosphonoxy, C3-6 (un)substituted phosphonoxy cycloalkyl, etc.; R3 = H, halo, cyano, nitro, C1-6 alkoxy, C1-6 alkyl, carbonylamido, sulfonylamido, organoamino, etc.; R4 = H, C1-4 alkyl, heterocaryl, heterocaryl C1-4 alkyl, aryl, aryl C1-4 alkyl, halo Me Et, cyclopropyl, ethynyl substituted alkyl, etc.), compns. containing them, processes for their preparation and their use in therapy, is described.

Thus, reaction of N-(6-[(3-chlorobenzyl)oxy]pyridin-3-yl)-7-(3-chloropropoxy)-6-

L4 ANSWER 8 OF 43 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)

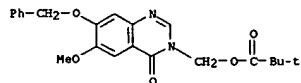
methoxyquinazolin-4-amine (prepn. given) with 3-amino-3-methylbutanol in di-Me acetamide in the presence of KI gave 75% 3-[(3-[(4-[(6-[(3-chlorobenzyl)oxy]pyridin-3-yl)amino]-6-methoxyquinazolin-7-yl)oxy]propyl)amino]-3-methylbutan-1-ol which on treatment with di-tert-butyl-N,N-diethylphosphoramidite, oxidn. with H2O2, and hydrolysis of the formed phosphate ester gave title compd., 3-[(3-[(4-[(6-[(3-chlorobenzyl)oxy]pyridin-3-yl)amino]-6-methoxyquinazolin-7-yl)oxy]propyl)amino]-3-methylbutyl dihydrogen phosphate.

IT 193002-24-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of phosphonoxy quinazolinone derivs. as therapeutic agents)

RN 193002-24-3 CAPIUS

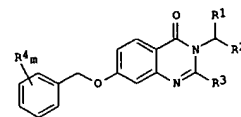
CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 43 CAPIUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:54181 CAPIUS
 DOCUMENT NUMBER: 141:89098
 TITLE: Preparation of 3H-quinazolin-4-one derivatives as selective monoamine oxidase B inhibitors
 INVENTOR(S): Rodriguez, Sarmiento Rosa Maria; Thomas, Andrew William; Wyler, Rene
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054985	A1	20040701	WO 2003-EP13888	20031208
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004142951 A1 20040722 US 2003-734949 20031213				
PRIORITY APPL. INFO.: MARPAT 141:89098				
OTHER SOURCE(S):				
GI				

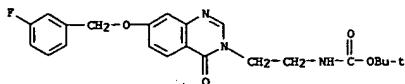


AB Title compds. I (R1 = aminocarbonylalkyl, carboxyalkyl, alkoxycarbonylalkyl, cyanoalkyl, hydroxyalkyl, alkoxyalkyl, Ph, etc.; R2 = H, halo, alkyl; R3 = H, alkyl, cycloalkyl, benzyl; R4 = halo, fluoroalkyl, cyano, alkoxy, fluoroalkoxy; m = 1, 2, 3) and their pharmaceutically acceptable salts are prepared. I are useful for the treatment of Alzheimer's disease and senile dementia. Formulations containing I were given.

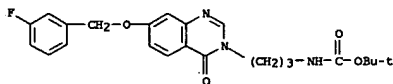
IT 713511-13-0P, [2-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]ethyl]carbamate tert-butyl ester 713511-14-9P, [3-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propyl]carbamate tert-butyl ester 713511-17-2P, [2-[7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]ethyl]carbamate tert-butyl ester 713511-23-0P, [2-[7-(3-Fluorobenzoyloxy)-2-methyl-4-oxo-4H-quinazolin-3-yl]ethyl]carbamate tert-butyl ester.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolinone derivs. as selective monoamine oxidase B

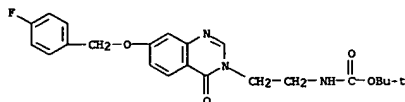
L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 inhibitors)
 RN 713511-13-8 CAPLUS
 CN Carbamic acid, [2-[7-[(3-fluorophenyl)methoxy]-4-oxo-3(4H)-quinazolinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



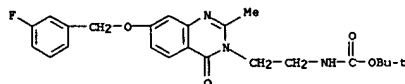
RN 713511-14-9 CAPLUS
 CN Carbamic acid, [3-[7-[(3-fluorophenyl)methoxy]-4-oxo-3(4H)-quinazolinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 713511-17-2 CAPLUS
 CN Carbamic acid, [2-[7-[(4-fluorophenyl)methoxy]-4-oxo-3(4H)-quinazolinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

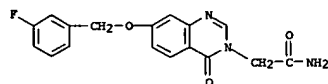


RN 713511-23-0 CAPLUS
 CN Carbamic acid, [2-[7-[(3-fluorophenyl)methoxy]-2-methyl-4-oxo-3(4H)-quinazolinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

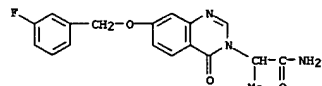


IT 713511-06-9P, 2-[7-(3-Fluorobenzoyloxy)-2-isopropyl-4-oxo-4H-quinazolin-3-yl]acetamide

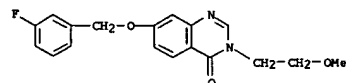
L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 713510-85-1 CAPLUS
 CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-4-oxo- (9CI) (CA INDEX NAME)



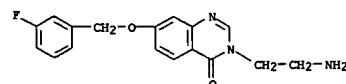
RN 713510-86-2 CAPLUS
 CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-α-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 713510-87-3 CAPLUS
 CN 4(3H)-Quinazolinone, 7-[(3-fluorophenyl)methoxy]-3-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



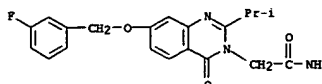
RN 713510-88-4 CAPLUS
 CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-7-[(3-fluorophenyl)methoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

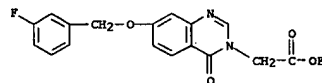
RN 713510-89-5 CAPLUS
 CN 3(4H)-Quinazolineacetic acid, 7-[(3-fluorophenyl)methoxy]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of quinazolinone derivs. as selective monoamine oxidase B inhibitors)
 RN 713511-06-9 CAPLUS
 CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-2-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)

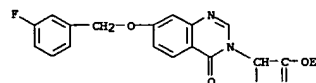


IT 713510-85-1P, 2-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetamide 713510-86-2P, 2-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionamide 713510-87-3P, 7-(3-Fluorobenzoyloxy)-3-(2-methoxyethyl)-3H-quinazolin-4-one 713510-88-4P, 3-(2-Aminoethyl)-7-(3-fluorobenzoyloxy)-3H-quinazolin-4-one dihydrochloride 713510-89-5P, [7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetic acid ethyl ester 713510-90-8P, Fluoro-[7-(3-fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetic acid ethyl ester 713510-91-9P, 2-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionic acid ethyl ester 713510-92-0P, [7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetic acid tert-butyl ester 713510-93-1P, 2-[7-(3-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionic acid tert-butyl ester 713510-94-2P, 3-(3-Aminopropyl)-7-(3-fluorobenzoyloxy)-3H-quinazolin-4-one dihydrochloride 713510-96-4P, 2-[7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetamide 713510-97-8P, 2-[7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionamide 713510-98-6P, [7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetic acid ethyl ester 713510-99-7P, 2-[7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionic acid ethyl ester 713511-00-3P, 7-(4-Fluorobenzoyloxy)-3-(2-methoxyethyl)-3H-quinazolin-4-one 713511-01-4P, 3-(2-Aminoethyl)-7-(4-fluorobenzoyloxy)-3H-quinazolin-4-one dihydrochloride 713511-02-8P, 3-[7-(4-Fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]propionamide 713511-03-6P, 2-[7-(3-Fluorobenzoyloxy)-2-methyl-4-oxo-4H-quinazolin-3-yl]acetamide 713511-04-7P, 7-(3-Fluorobenzoyloxy)-3-(2-methoxyethyl)-2-methyl-3H-quinazolin-4-one 713511-05-8P 713511-07-0P, [7-(3-Fluorobenzoyloxy)-2-isopropyl-4-oxo-4H-quinazolin-3-yl]acetonitrile 713511-08-1P, 2-[2-Cyclopropyl-7-(3-fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetamide 713511-09-2P, 2-Cyclopropyl-7-(3-fluorobenzoyloxy)-3-(2-methoxyethyl)-3H-quinazolin-4-one 713511-10-8P, [2-Cyclopropyl-7-(3-fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetic acid methyl ester 713511-11-6P, 2-[2-Benzyl-7-(3-fluorobenzoyloxy)-4-oxo-4H-quinazolin-3-yl]acetamide RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinazolinone derivs. as selective monoamine oxidase B inhibitors)

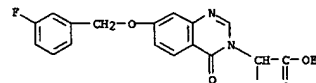
L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



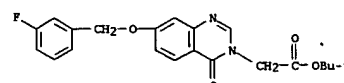
RN 713510-90-8 CAPLUS
 CN 3(4H)-Quinazolineacetic acid, α-fluoro-7-[(3-fluorophenyl)methoxy]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



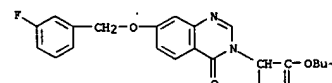
RN 713510-91-9 CAPLUS
 CN 3(4H)-Quinazolineacetic acid, 7-[(3-fluorophenyl)methoxy]-α-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 713510-92-0 CAPLUS
 CN 3(4H)-Quinazolineacetic acid, 7-[(3-fluorophenyl)methoxy]-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



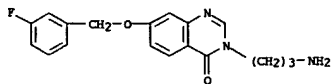
RN 713510-93-1 CAPLUS
 CN 3(4H)-Quinazolineacetic acid, 7-[(3-fluorophenyl)methoxy]-α-methyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 713510-94-2 CAPLUS

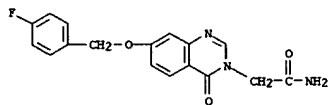
CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-7-[(3-fluorophenyl)methoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

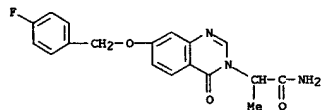
RN 713510-96-4 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-[(4-fluorophenyl)methoxy]-4-oxo- (9CI) (CA INDEX NAME)



RN 713510-97-5 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-[(4-fluorophenyl)methoxy]-α-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 713510-98-6 CAPLUS

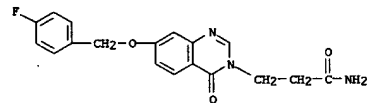
CN 3(4H)-Quinazolineacetic acid, 7-[(4-fluorophenyl)methoxy]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

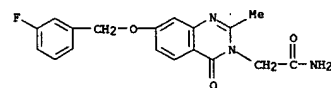
RN 713511-02-5 CAPLUS

CN 3(4H)-Quinazolinepropanamide, 7-[(4-fluorophenyl)methoxy]-4-oxo- (9CI) (CA INDEX NAME)



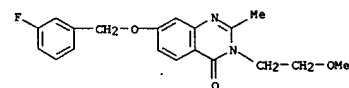
RN 713511-03-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-2-methyl-4-oxo- (9CI) (CA INDEX NAME)



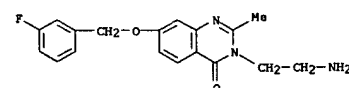
RN 713511-04-7 CAPLUS

CN 4(3H)-Quinazolinone, 7-[(3-fluorophenyl)methoxy]-3-(2-methoxyethyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 713511-05-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-7-[(3-fluorophenyl)methoxy]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

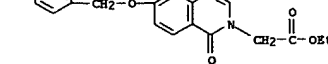
RN 713511-07-0 CAPLUS

CN 3(4H)-Quinazolineacetonitrile, 7-[(3-fluorophenyl)methoxy]-2-(1-

L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

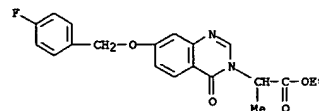
RN 713510-99-7 CAPLUS

CN 3(4H)-Quinazolineacetic acid, 7-[(4-fluorophenyl)methoxy]-α-methyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



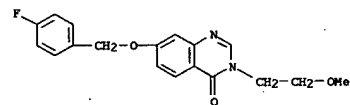
RN 713511-00-3 CAPLUS

CN 4(3H)-Quinazolinone, 7-[(4-fluorophenyl)methoxy]-3-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



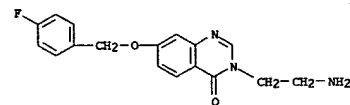
RN 713511-00-3 CAPLUS

CN 4(3H)-Quinazolinone, 7-[(4-fluorophenyl)methoxy]-3-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 713511-01-4 CAPLUS

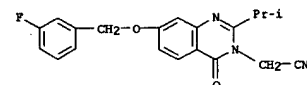
CN 4(3H)-Quinazolinone, 3-(2-aminoethyl)-7-[(4-fluorophenyl)methoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

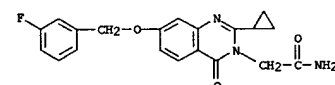
L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

methylethyl)-4-oxo- (9CI) (CA INDEX NAME)



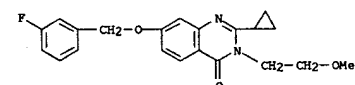
RN 713511-08-1 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-cyclopropyl-7-[(3-fluorophenyl)methoxy]-4-oxo- (9CI) (CA INDEX NAME)



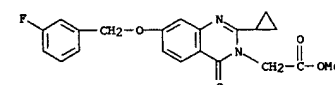
RN 713511-09-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-cyclopropyl-7-[(3-fluorophenyl)methoxy]-3-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 713511-10-5 CAPLUS

CN 3(4H)-Quinazolineacetic acid, 2-cyclopropyl-7-[(3-fluorophenyl)methoxy]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



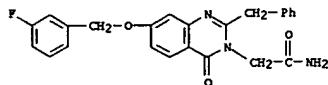
RN 713511-11-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-4-oxo-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 713511-11-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-4-oxo-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

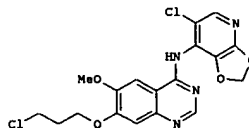
L4 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:430753 CAPLUS
 DOCUMENT NUMBER: 141:1220
 TITLE: Preparation of quinazolines as Src family non-receptor tyrosine kinase inhibitors for use in combination therapy with gemcitabine for treatment and prophylaxis of pancreatic cancer
 INVENTOR(S): Borge, Alan
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043472	A1	20040527	WO 2003-GB4787	20031107

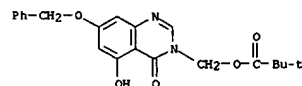
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SL, SN, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, TD, TG

PRIORITY APPLN. INFO.: GB 2002-26434 A 20021113
 GI



AB The invention concerns a combination comprising an inhibitor of Src kinase and the cytotoxic agent, gemcitabine, a pharmaceutical composition comprising such a combination, and its use in the treatment or prophylaxis of cancer, particularly of pancreatic cancer. Examples include preps. for anilino- and (pyridylamino)quinazoline Src inhibitors (no Markush structure given) and bioassays demonstrating the synergistic effect of treating pancreatic cancer with a quinazoline Src inhibitor in combination with gemcitabine. For instance, 4-amino-5-chloro-2,3-methylenedioxy-pyridine was coupled with 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline (preparation of reactants given) in the presence of sodium hexamethyldisilazane in THF to afford the (pyridylamino)quinazoline 1. Nude mice were injected with pancreatic

L4 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 tumor cells derived from the COLO 357 human pancreatic cancer cell line and treated with gemcitabine, the Src inhibitor, 4-(2-chloro-5-methoxyanilino)-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline, or a combination of the two. Evaluation for tumor growth and incidence of liver metastases showed that, compared with the wt. of control tumors, tumor growth in animals treated with the combination was much reduced (1359 mg and 124 mg, resp.) to a level well below that achievable on the dosing of either gemcitabine or the Src inhibitor alone. In addn., there was no liver metastasis in the animals treated with the combination, whereas liver metastasis was present in 1/5 of the animals treated with gemcitabine alone.
 IT 379229-61-5, 7-Benzoyloxy-5-hydroxy-3-[(pivaloyloxy)methyl]-3,4-dihydroquinazolin-4-one
 RI: RCT (Reactant); RACT (Reactant or reagent)
 synergistic combination with gemcitabine for treatment and prophylaxis of pancreatic cancer)
 RN 379229-61-5 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414727 CAPLUS
 DOCUMENT NUMBER: 140:423698
 TITLE: Preparation of quinazoline derivatives as c-Src tyrosine kinase inhibitors
 INVENTOR(S): Pile, Patrick
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 124 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041829	A1	20040521	WO 2003-GB4703	20031029

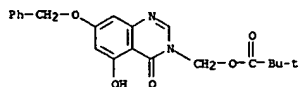
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SN, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, TD, TG

PRIORITY APPLN. INFO.: EP 2002-292736 A 20021104
 EP 2003-290900 A 20030410
 OTHER SOURCE(S): MARPAT 140:423698
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1 = halo, CF3, cyano, isocyano, NO3, OH, SH, amino, formyl, carboxy, carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, etc.; 2 = O, SO, SO2, N(R2)2, or C(R2)2; R2 = H or alkyl; m = 0-3; R3 = halo, CF3, CN, NO2, OH, amino, carboxy, carbamoyl, alkyl, alkenyl, alkynyl, alkoxy, etc.; n = 0-3] were prepared as c-Src tyrosine kinase inhibitors in the treatment and/or treatment of solid tumor disease. For example, reaction of 4-amino-5-chloro-2,3-methylenedioxy-pyridine (preparation given) and 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline (preparation given) yielded compound II.
 IT 379229-61-59
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazoline derivs. as c-Src tyrosine kinase inhibitors)
 RN 379229-61-5 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

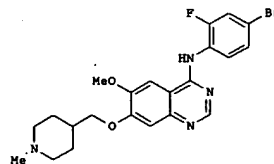


L4 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:142964 CAPLUS
 DOCUMENT NUMBER: 140:175125
 TITLE: Combination of ZD6474, an inhibitor of the vascular endothelial growth factor receptor, with radiotherapy in the treatment of cancer
 INVENTOR(S): Wedge, Stephen Robert
 PATENT ASSIGNEE(S): AstraZeneca AB, Sued.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

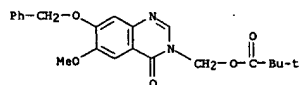
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014383	A1	20040219	WO 2003-GB3388	20030805
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2495487	AA	20040219	CA 2003-2495487	20030805
EP 1534287	A1	20050601	EP 2003-784247	20030805
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			GB 2002-18525	A 20020809
			GB 2003-7560	A 20030402
			WO 2003-GB3388	W 20030805

GI



AB The invention discloses a method for the production of an antiangiogenic and/or vascular permeability-reducing effect in a warm-blooded animal, e.g. a human, particularly a method for the treatment of a cancer,

L4 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 particularly a cancer involving a solid tumor, which comprises the administration of ZD6474 (I) (prepn. described) in combination with ionizing radiation. The invention also discloses the use of ZD6474 in the manuf. of a medicament for use in the prodn. of an antiangiogenic and/or vascular permeability-reducing effect in a warm-blooded animal, e.g. a human, which is being treated with ionizing radiation.
 IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (ZD6474 combination with radiotherapy for treatment of cancer)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



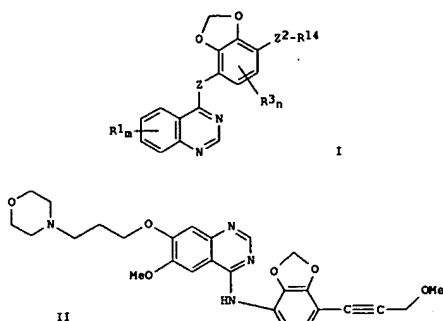
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:41281 CAPLUS
 DOCUMENT NUMBER: 140:94060
 TITLE: Preparation of benzodioxole-containing quinazolinones with MAP kinase inhibitory activity for treatment of cancer
 INVENTOR(S): Hennequin, Laurent Francois Andre; Foote, Kevin
 Michael; Gibson, Keith Hopkinson
 PATENT ASSIGNEE(S): AstraZeneca AB, Sued.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 173 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004732	A1	20040115	WO 2003-GB2874	20030704
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1528925	A1	20050511	EP 2003-740769	20030704
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			GB 2002-15825	A 20020709
			GB 2003-12897	A 20030605
			WO 2003-GB2874	W 20030704

OTHER SOURCE(S): MARPAT 140:94060
 GI

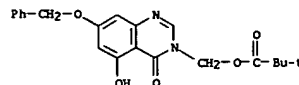


AB The invention concerns benzodioxole-containing quinazolines (shown as I; variables defined below; e.g. II), processes for their preparation, pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive or anti-proliferative agent in the containment and/or treatment of solid tumor disease (no data). Compds. I possess p44MAP kinase inhibitory activity (no data). Methods of preparation are claimed and approx. 90 example preps. are included. For example, II was prepared from N-(7-iodo-1,3-benzodioxol-4-yl)-6-methoxy-7-[3-(morpholin-4-yl)propoxy]quinazolin-4-amine and Me propargyl ether in the presence of bis(triphenylphosphine)palladium(II) chloride, copper iodide and iPr2NH in EtOAc; preps. of the reactants are also described. For I: Z is O, S, SO, SO2, N(R2) or C(R2)2 (R2 is H or (1-6C)alkyl); m is 0-4; each R1 = halo, trifluoromethyl, cyano, isocyano, nitro, hydroxy, mercapto, amino, formyl, carboxy, carbamoyl, (1-6C)alkenyl, (2-8C)alkenyl, (2-8C)alkynyl, etc.; N = 0-2; R3 = halo, trifluoromethyl, cyano, nitro, hydroxy, amino, carboxy, carbamoyl, (1-6C)alkenyl, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxy, etc.; Z2 is C.triplbond.C or C(R13)C(R13) (R13 is H or (1-6C)alkyl); and R14 = halo, cyano, isocyano, formyl, carboxy, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxycarbonyl, etc.; addnl. details are given in the claims.

IT 379229-61-5, 7-Benzoxo-5-hydroxy-3-[(pivaloxy)methyl]-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of benzodioxole-containing quinazolines with MAP kinase inhibitory activity for treatment of cancer)

RN 379229-61-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:532525 CAPLUS
 DOCUMENT NUMBER: 139:101142
 TITLE: Preparation of substituted quinazoline derivatives as inhibitors of aurora kinases
 INVENTOR(S): Jung, Frederic Henri; Pasquet, Georges Rene
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 175 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055491	A1	20030710	WO 2002-GB5845	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471577	A1	20030710	CA 2002-2471577	20021220
EP 1463506	A1	20041006	EP 2002-788214	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015312	A	20041207	BR 2002-15312	20021220
US 2005070561	A1	20050331	US 2004-499684	20041117
PRIORITY APPLN. INFO.:			EP 2001-403357	A 20011224
			WO 2002-GB5845	W 20021220
OTHER SOURCE(S):		MARPAT 139:101142		
GI				

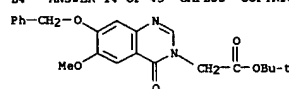
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, SOO-2, amino, etc.; R1-4 = H, halo, CN, NO2, CF3, etc.; R5 = pyrazolyl] are prepared. For instance, 4-chloro-6-methoxy-7-(3-(morpholinyl)propoxy)quinazolinone is heated in the presence of Me (5-amino-1H-pyrazol-3-yl)acetate (pentan-2-ol, HCl, 120°, 2 h) to give Me [5-[(6-methoxy-7-(3-(morpholinyl)propoxy)quinazolin-4-yl)amino]-1H-pyrazol-3-yl]acetate. This intermediate is saponified and condensed with aniline to give II. I are inhibitors of aurora kinase [no data].

IT 557771-41-2P, tert-Butyl 2-[7-(benzoxo)-6-methoxy-4-oxo-3(4H)-quinazolinyl]acetate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted quinazoline derivs. as inhibitors of aurora kinases)

RN 557771-41-2 CAPLUS

CN 3(4H)-Quinazolineacetic acid, 6-methoxy-4-oxo-7-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:434555 CAPLUS

DOCUMENT NUMBER: 139:22225

TITLE: Preparation of quinazoline compounds for the treatment of T cell mediated diseases

INVENTOR(S): Moore, Nelly Corine; Oldham, Keith

PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

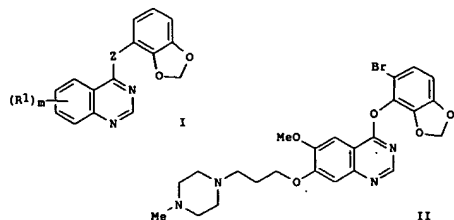
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045943	A1	20030605	WO 2002-GB5182	20021120
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG				
EP 1451180	A1	20040901	EP 2002-777554	20021120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005009950	A1	20050113	US 2004-496460	20040524
PRIORITY APPLN. INFO.: GB 2001-28122 A 20011123 WO 2002-GB5182 W 20021120				
OTHER SOURCE(S): MARPAT 139:22225				
GI				



L4 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:434373 CAPLUS

DOCUMENT NUMBER: 139:6886

TITLE: Preparation of quinazoline derivatives for the treatment of T cell mediated diseases

INVENTOR(S): Moore, Nelly Corine; Oldham, Keith

PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045395	A1	20030605	WO 2002-GB5222	20021120
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG				
EP 1450808	A1	20040901	EP 2002-779708	20021120
EP 1450808	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005038050	A1	20050217	US 2004-496587	20040524
PRIORITY APPLN. INFO.: GB 2001-28108 A 20011123 WO 2002-GB5222 W 20021120				
OTHER SOURCE(S): MARPAT 139:6886				
GI				

L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

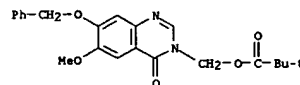
AB Quinazoline derivs. of formula I [Z = O, S, SO, SO₂, (substituted) CH₂; R₁ = halo, CF₃, CN, nitro, OH, SH, NH₂, CHO, alkanoyloxy, heterocyclyalkyloxy, etc.; m = 0-3] are prepared for use in the prevention or treatment of T cell mediated diseases or medical conditions in a warm-blooded animal. Thus, II was prepared and tested for enzyme p56lck inhibition, T cell proliferation inhibition, skin graft rejection inhibition and anti-arthritis activity.

IT 193002-24-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline compds. for treatment of T cell mediated diseases)

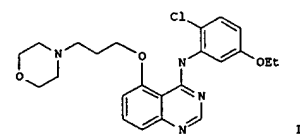
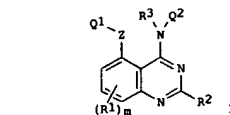
RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [m = 0-3; R₁ = halo, CF₃, CN, NO₂, etc.; R₂ = H, alkyl; R₃ = H, alkyl; Z = bond, O, SO₂-2, amino, etc.; Q₁ = aryl(alkyl), cycloalkyl, cycloalkenyl, heteroaryl, etc.; Q₂ = phenyl] are prepared for instance, 4-[[2-chloro-5-ethoxyphenyl]amino]-5-hydroxy-7-methoxyquinazoline (preparation)

given) was coupled to 4-(3-hydroxypropyl)morpholine (CH₂Cl₂, Ph₃P, t-BuO₂C-N=N-CO₂Bu-t) to give II. I are useful for the prevention or treatment of T cell mediated diseases.

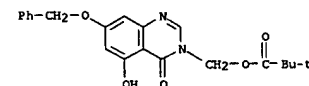
IT 379229-61-5P, 7-Benzylxy-5-hydroxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(quinazoline derivs. for treatment of T cell mediated diseases)

RN 379229-61-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2003:43436 CAPLUS

DOCUMENT NUMBER: 139:22222

TITLE: Preparation of arylamino-methoxyquinazolines for the

prevention or treatment of T cell-mediated diseases

INVENTOR(S): Moore, Nelly Corine; Oldham, Keith

PATENT ASSIGNEE(S): AstraZeneca A.B., Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045364	A2	20030605	WO 2002-GB5217	20021120
WO 2003045364	A3	20030828		
W:				
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1453492	A2	20040908	EP 2002-777571	20021120
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005014773	A1	20050120	US 2004-496464	20040524
PRIORITY APPL. INFO.:			GB 2001-28109	A 20011123
			WO 2002-GB5217	W 20021120
OTHER SOURCE(S):				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (n = 0-3; R¹ = halo, CF₃, CN, NO₂, OH, etc.; R² = H, alkyl, R³ = halo, CF₃, CN, NO₂, OH, amino, carboxy, etc.) are prepared for instance, 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (preparation given) is coupled to 2,3-methylenedioxyaniline (sec-pentanol, HCl, IPA) to give II as the bis-HCl salt. I are useful for the prevention or treatment of T cell mediated diseases or medical conditions in a warm-blooded animal.

IT 193002-24-3P, 7-Benzoyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one

R¹: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylamino-methoxyquinazolines for the prevention or treatment of T cell-mediated diseases)

RN 193002-24-3 CAPLUS

L4 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2003:376831 CAPLUS

DOCUMENT NUMBER: 139:385442

TITLE: Preparation of (anilino)quinazolines as antitumor

agents

INVENTOR(S): Hennequin, Laurent Francois Andre; Kettle, Jason

Grant; Pass, Martin; Bradbury, Robert Hugh

AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 275 pp.

CODEN: PIXX02

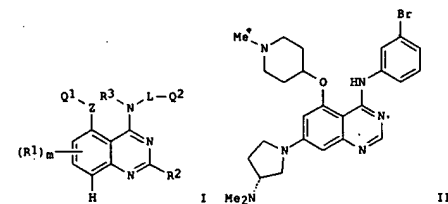
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

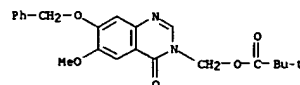
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040109	A2	20030515	WO 2002-GB4932	20021031
WO 2003040109	A3	20030626		
W:				
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465100	A2	20030515	CA 2002-2465100	20021031
EP 1444211	A2	20040811	EP 2002-774961	20021031
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013843	A	20040831	BR 2002-13843	20021031
JP 200511603	T2	20050428	JP 2003-542155	20021031
US 2005054662	A1	20050310	US 2004-494388	20041001
PRIORITY APPL. INFO.:			GB 2001-26433	A 20011103
			WO 2002-GB4932	W 20021031
OTHER SOURCE(S):				
GI				



L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

AB Title compds. I (wherein n = 0-2; n = 1-2; L = a bond or [C(R2)2]; R¹ = halo, CF₃, CN, NO₂, OH, SH, NH₂, CHO, CO₂H, COMe₂, or (un)substituted alkyl(oxy), alkenyl(oxy), alkynyl(oxy), alkylthio, alkylsulfinyl, alkylsulfonyl, (di)alkylamino, alkoxy, carbonyl, (di)alkylcarbamoyl, alkanoyl(oxy), (alkyl)alkanoylamino, (alkyl)alkenoylamino, (alkyl)alkynoylamino, (di)alkylsulfamoyl, (alkyl)alkanesulfonylamino, or Q3X1; or (R1)m = alkylenedioxy; with the proviso that adjacent alkylene C atoms within a R¹ substituent are optionally interrupted by O, S, SO, SO₂, NR₅, CO, CHOR₅, CONR₅, NR₅SO₂, CH=CH, or C.tpbond.C; R² = H, R₃, R₄, R₅, R₁₁, R₁₂, and R₂₂ = independently H or alkyl; Q1 and Q2 = independently (un)substituted (hetero)aryl(alkyl), cycloalkyl(alkyl), cycloalkenyl(alkyl), or heterocyclyl(alkyl); with the proviso that adjacent alkylene C atoms within the Q12 group are optionally interrupted by O, S, SO, SO₂, NR₁₂, CO, CHOR₁₂, CONR₁₂, NR₁₂CO, SO₂NR₁₂, NR₁₂SO₂, CH=CH, or C.tpbond.C; Q2 = (un)substituted Ph, bicyclic (hetero)aryl, or bicyclic heterocyclyl; X1 = a bond, O, S, SO, SO₂, NR₄, CO, CHOR₄, CONR₄, NR₄CO, SO₂NR₄, NR₄SO₂, OC(R₄)2, SC(R₄)2, or NR₄C(R₄)2; Z = a bond, O, S, SO, SO₂, NR₁₁, CO, CHOR₁₁, CONR₁₁, NR₁₁CO, SO₂NR₁₁, NR₁₁SO₂, OC(R₁₁)2, SC(R₁₁)2, or NR₁₁C(R₁₁)2; and pharmaceutically acceptable salts thereof) were prepared for use in the prevention or treatment of tumors which are sensitive to inhibition of erbB receptor tyrosine kinases. For example, coupling of 3-(R)-(+)-dimethylaminopyrrolidine with 3,4-dihydro-5-hydroxy-7-fluoroquinazolin-4-one-CF₃CO₂H in NMP gave the pyrrolidinylquinazolinone (41%). Addition of chloromethyl pivalate in the presence of NaH in DMF afforded the 3-substituted derivative (62%), which

was condensed with 4-hydroxy-N-methylpiperidine using PPh₃ and di-tert-Bu azodicarboxylate in DCM to give the piperidinylquinazolinone (77%). Deprotection (66%) using NH₃ in MeOH, followed by chlorination with POCl₃ and di-isopropylethylamine in dichloroethane provided 4-chloro-7-(3-(R)-dimethylaminopyrrolidin-1-yl)-5-(1-methylpiperidin-4-yl)quinazolinone (81%). Coupling of the chloroquinazolinone with 3-bromoaniline in the presence of HCl and IPA in dioxane yielded II-HCl (43%). The biol. activity of the example compds. was assessed in five assays. Thus, I inhibited the phosphorylation of a tyrosine-containing polypeptide substrate by epidermal growth factor receptor (EGFR) kinase, erbB2 kinase, and erbB4 kinase with IC₅₀ values in the range of 0.001 μM - 10 μM. I also inhibited the proliferation of both human naso-pharyngeal carcinoma KB cells and non-neoplastic epithelial H16N-2 cells with IC₅₀ values in the range 0.001 μM - 20 μM. In addition, I inhibited the growth of colorectal adenocarcinoma LoVo and human mammary carcinoma BT-474 tumor cell xenografts in vivo with activities in the range of 1 mg/kg/day to 200 mg/kg/day with no physiol. unacceptable toxicity at the ED.

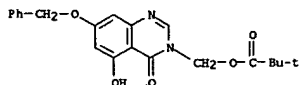
IT 379229-61-5P, 7-Benzoyloxy-5-hydroxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 525593-06-0P, 7-Benzoyloxy-3-pivaloyloxymethyl-5-[(tetrahydropyran-4-yl)oxy]-3,4-dihydroquinazolin-4-one 525593-07-1P, 7-Benzoyloxy-5-(1-methylpiperidin-4-yloxy)-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one 525593-08-2P, 7-Benzoyloxy-3-pivaloyloxymethyl-5-[(tetrahydrofuran-3-yl)oxy]-3,4-dihydroquinazolin-4-one 525593-09-3P, 7-Benzoyloxy-5-cyclopentyl-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one

R¹: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

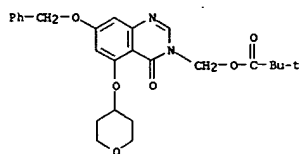
(intermediate; preparation of (anilino)quinazolines as erbB receptor tyrosine kinase inhibitors for treatment of cancer)

RN 379229-61-5 CAPLUS

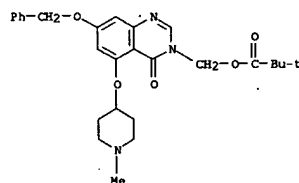
CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



RN 525593-06-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [4-oxo-7-(phenylmethoxy)-5-[(tetrahydro-2H-pyran-4-yl)oxy]-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



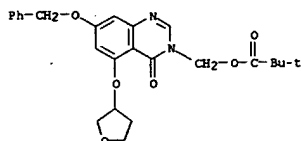
RN 525593-07-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [5-[(1-methyl-4-piperidinyl)oxy]-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



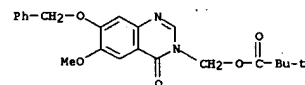
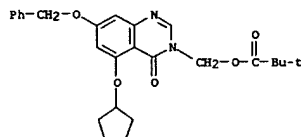
RN 525593-08-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [4-oxo-7-(phenylmethoxy)-5-[(tetrahydro-3-furanyl)oxy]-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:376644 CAPLUS
DOCUMENT NUMBER: 138:379206
TITLE: Combination cancer therapy comprising ZD6474 and a taxane
INVENTOR(S): Wedge, Stephen Robert
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039551	A1	20030515	WO 2002-GB5021	20021106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HP, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, HR, ME, SN, TD, TG				
CA 2464758	AA	20030515	CA 2002-2464758	20021106
EP 1446124	A1	20040818	EP 2002-772624	20021106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013906	A	20040831	BR 2002-13906	20021106
JP 2005511597	T2	20050428	JP 2003-541842	20021106
US 2005043395	A1	20050224	US 2004-494704	20041019
PRIORITY APPLN. INFO.: GB 2001-26879 A 20011108				
WO 2002-GB5021 W 20021106				
AB The invention provides a method for the production of an antiangiogenic and/or				
vascular permeability-reducing effect in a warm-blooded animal such as a human, particularly a method for the treatment of a cancer involving a solid tumor, which comprises the administration of ZD6474				
(4-(4-bromo-2-fluoroanilino)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazolin-3(4H)-one) in combination with a taxane.				
The invention also provides a pharmaceutical composition comprising ZD6474				
and				
a taxane; a combination product comprising ZD6474 and a taxane for use in a method of treatment of a human or animal body by therapy; a kit comprising ZD6474 and a taxane; the use of ZD6474 and a taxane in the manufacture of a medicament for use in the production of an antiangiogenic and/or				
vascular permeability-reducing effect in a warm-blooded animal such as a human which is optionally being treated with ionizing radiation.				
IT 193002-24-3P				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(ZD6474-taxane combination for cancer therapy)				
RN 193002-24-3 CAPLUS				
CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)				



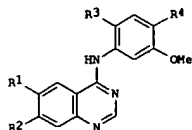
RN 525593-09-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [5-(cyclopentyloxy)-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:888721 CAPLUS
 DOCUMENT NUMBER: 137:384856
 TITLE: Preparation of 4-anilinoquinazolines as antitumor agents
 INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick
 PATENT ASSIGNEE(S): Astrazeneca AB, Sued.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 78 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

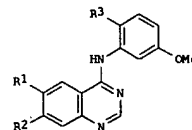
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092578	A1	20021121	WO 2002-GB2124	20020508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: MARPAT 137:384856 EP 2001-401222 A 20010514 OTHER SOURCE(S): GI				



AB The title compds. [I; R1 = H, alkoxy and R2 = X1Q1 (wherein X1 = O, S, SO, etc.; Q1 = heteroaryl, heteroarylalkyl, heterocyclyl, etc.), X2R5 (wherein X2 = O, NH, Nalkyl; R5 = hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.); or R2 = H, alkoxy and R1 = X1Q1, X2R5; R3, R4 = Cl, Br, I], useful as anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of 1,2HCl [R1 = OMe; R2 = N-methylpiperidin-4-ylmethoxy; R3, R4 = Cl], starting from Et piperidine-4-carboxylate, was given. Biol. activity of compds. I was tested in 4 tests. Thus, the compds. I showed IC50 of 0.001-10 µM in in vitro c-Src tyrosine kinase assay.
 IT 193002-24-3P, 7-Benzylxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

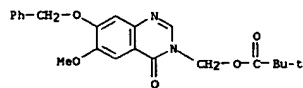
L4 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:888720 CAPLUS
 DOCUMENT NUMBER: 137:384855
 TITLE: Preparation of 4-anilinoquinazolines as antitumor agents
 INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick
 PATENT ASSIGNEE(S): Astrazeneca AB, Sued.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092577	A1	20021121	WO 2002-GB2117	20020508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: MARPAT 137:384855 EP 2001-401223 A 20010514 OTHER SOURCE(S): GI				



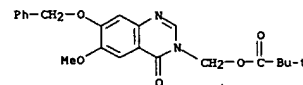
AB The title compds. [I; R1 = H, alkoxy and R2 = X1Q1 (wherein X1 = O, S, SO, etc.; Q1 = heteroaryl, heteroarylalkyl, heterocyclyl, etc.), X2R5 (wherein X2 = O, NH, Nalkyl; R5 = hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.); or R2 = H, alkoxy and R1 = X1Q1, X2R5; R3, R4 = Cl, Br, I], useful as anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = OMe; R2 = N-methylpiperidin-4-ylmethoxy; R3 = Cl], starting from Et piperidine-4-carboxylate, was given. Biol. activity of compds. I was tested in 4 tests. Thus, the compds. I showed IC50 of 0.001-10 µM in in vitro c-Src tyrosine kinase assay.
 IT 193002-24-3P, 7-Benzylxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-anilinoquinazolines as antitumor agents)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (Reactant or reagent)
 (prepn. of 4-anilinoquinazolines as antitumor agents)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

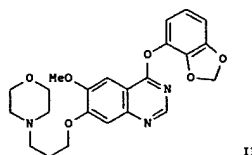
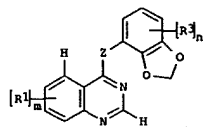


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:832791 CAPLUS
 DOCUMENT NUMBER: 137:337908
 TITLE: Preparation of antitumor quinazolines
 INVENTOR(S): Pile, Patrick
 PATENT ASSIGNEE(S): AstraZeneca AB, Sved.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085895	A1	20021031	WO 2002-GB1734	20020415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HP, HR, NE, SN, TD, TG				
EP 1381599	A1	20040121	EP 2002-719343	20020415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525984	T2	20040826	JP 2002-583422	20020415
US 2004138240	A1	20040715	US 2003-475016	20031016
PRIORITY APPLN. INFO.:			EP 2001-401007	A 20010419
			WO 2002-GB1734	W 20020415
OTHER SOURCE(S):		MARPAT 137:337908		
GI				

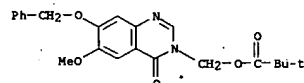
L4 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; 2 = O, S, SO, etc.; n = 0-3; R1 = halo, CF3, CN, etc.; n = 0-3; R3 = halo, CF3, CN, etc.], useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared. Thus, a multi-step synthesis of the quinazolinone II, starting from 2-amino-4-benzyl-5-methoxybenzamide, was given. The compds. I show IC50 in the range of 0.001-10 µM in vitro c-Src kinase assay.

IT 193002-24-3P, 7-Benzyl-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of antitumor quinazolines)

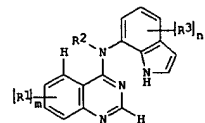
RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:332187 CAPLUS
 DOCUMENT NUMBER: 136:340694
 TITLE: Preparation of 4-(indol-7-ylamino)quinazolines as antitumor agents
 INVENTOR(S): Lambert, Christine Marie-Paul; Pile, Patrick
 PATENT ASSIGNEE(S): AstraZeneca AB, Sved.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034744	A1	20020502	WO 2001-GB4674	20011019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002012436	A5	20020506	AU 2002-12436	20011019
EP 1332141	A1	20030806	EP 2001-980640	20011019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512335	T2	20040422	JP 2002-537735	20011019
US 2004063733	A1	20040401	US 2003-415053	20031022
PRIORITY APPLN. INFO.:			EP 2000-402962	A 20001025
			WO 2001-GB4674	W 20011019
OTHER SOURCE(S):		MARPAT 136:340694		
GI				

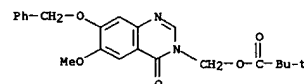


AB The title compds. [I; n = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; n = 0-3; R3 = halo, CF3, CN, etc.] and their pharmaceutically acceptable salts, useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared. E.g., a multi-step synthesis of I [n = 2; R1 = 6-OMe; R2 = 7-(3-morpholinopropoxy); R3 = H; n = 2; R3 = 2,3-Me2], was given. The compds. I were tested for c-Src tyrosine kinase inhibition, and in general their activity may be demonstrated by IC50 in the range, for example, 0.001-10 µM.

IT 193002-24-3P, 7-Benzyl-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one

L4 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 4-(indol-7-ylamino)quinazolines as antitumor agents)

RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:293648 CAPLUS

DOCUMENT NUMBER:

136:325554

TITLE:

Preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors
Lambert, Christine Marie-Paul; Ple, Patrick
Astrazeneca AB, Sued.; Astrazeneca UK Limited
PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

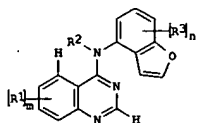
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030926	A1	20020418	WO 2001-GB4497	20011009
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001092137	A5	20020422	AU 2001-92137	20011009
EP 1326860	A1	20030716	EP 2001-972363	20011009
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004511480	T2	20040415	JP 2002-534312	20011009
US 2004044015	A1	20040304	US 2003-399017	20030410
PRIORITY APPLN. INFO.:			EP 2000-402045	A 20001013
			WO 2001-GB4497	W 20011009

OTHER SOURCE(S):

MARPAT 136:325554

GI



AB The title compds. [I; m = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; n = 0-3; R3 = halo, CF3, CN, etc.], useful as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared thus, reacting 4-chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline with 4-aminobenzofuran (prepn. given) in the presence of HCl/iso-PrOH afforded 1.2HCl [m = 2; R1 = 6-methoxy; R1 = 7-[3-(4-methylpiperazin-1-yl)propoxy]; R2, R3 = H]. Biol. data were

L4 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:293646 CAPLUS

DOCUMENT NUMBER:

136:325553

TITLE:

Preparation of 4-(7-benzofuranylamino)quinazolines with antitumor activity
Lambert, Christine Marie Paul; Ple, Patrick
Astrazeneca AB, Sued.; Astrazeneca UK Limited
PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

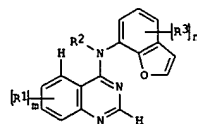
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030924	A1	20020418	WO 2001-GB4498	20011009
WO 2002030924	C2	20030522		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001092138	A5	20020422	AU 2001-92138	20011009
EP 1326859	A1	20030716	EP 2001-972364	20011009
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004511479	T2	20040415	JP 2002-534310	20011009
US 2004040891	A1	20040311	US 2003-398793	20030408
US 6849625	B2	20050201		
PRIORITY APPLN. INFO.:			EP 2000-402844	A 20001013
			WO 2001-GB4498	W 20011009

OTHER SOURCE(S):

MARPAT 136:325553

GI



AB The title compds. [I; m = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; n = 0-3; R3 = halo, CF3, CN, etc.], useful as anti-invasive agents in the containment and/or treatment of solid tumor disease, were prepared and formulated. Thus, reacting 4-chloro-6-methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]quinazoline with 7-aminobenzofuran (prepn. given) in the presence of HCl/iso-PrOH afforded 1.2HCl [m = 2; R1 = 6-MeO; R1 =

L4 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

given.

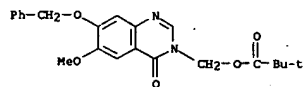
IT 193002-24-3P

R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(4-benzofuranylamino)quinazolines as c-Src tyrosine kinase inhibitors)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

7-[3-(4-methylpiperazin-1-yl)propoxy]; R2, R3 = H]. Biol. data for compds. I (i.e., as c-Src tyrosine kinase inhibitors) were given.

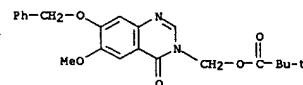
IT 193002-24-3P

R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-(7-benzofuranylamino)quinazolines with antitumor activity)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

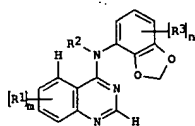
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2002:157764 CAPLUS
 DOCUMENT NUMBER: 136:200201
 TITLE: Preparation of quinazolines as an anti-invasive agent in the containment and/or treatment of solid tumor disease
 INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie Paul
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 138 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016352	A1	20020228	WO 2001-GB3649	20010815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2419301	AA	20020228	CA 2001-2419301	20010815
AU 2001078609	A5	20020304	AU 2001-78609	20010815
EP 1313727	A1	20030528	EP 2001-956688	20010815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013358	A	20030701	BR 2001-13358	20010815
JF 2004506732	T2	20040304	JF 2002-521453	20010815
NZ 523702	A	20040827	NZ 2001-523702	20010815
EE 200300071	A	20041215	EE 2003-71	20010815
ZA 2003000569	A	20040421	ZA 2003-569	20030121
US 2004034046	A1	20040219	US 2003-344678	20030214
NO 2003000795	A	20030404	NO 2003-795	20030220
PRIORITY APPLN. INFO.:			EP 2000-402320	A 20000821
			EP 2001-401006	A 20010419
			WO 2001-GB3649	W 20010815

OTHER SOURCE(S): MARPAT 136:200201
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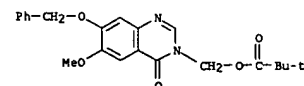


L4 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2002:131424 CAPLUS
 DOCUMENT NUMBER: 136:102393
 TITLE: Preparation of quinazolinyureas for treatment of solid tumors.
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Ltd.
 SOURCE: PCT Int. Appl., 149 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200202534	A1	20020110	WO 2001-GB2874	20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			EP 2000-401897	A 20000703

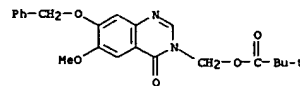
OTHER SOURCE(S): MARPAT 136:102393
 AB Use of Q1R2NC(2)NR3O2 (Q1 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3) as anti-invasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a solution of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (preparation given) in CH2Cl2/DMP followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 µM.
 IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolinyureas for treatment of solid tumors)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

AB The title compds. [I: m, n = 0-3; R1 = halo, CF3, CN, etc.; R2 = H, alkyl; R3 = halo, CF3, CN, etc.] and their salts, useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared and formulated. E.g., a multi-step synthesis of 1 [R1 = 7-(3-morpholinopropoxy); m = 1; R2, R3 = H] was given. The compds. 1 showed IC50's of 0.001-10 µM against c-src tyrosine kinase.
 IT 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolines as an anti-invasive agent in the containment and/or treatment of solid tumor disease)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

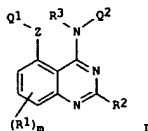
L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2001:904160 CAPLUS
 DOCUMENT NUMBER: 136:20087
 TITLE: Preparation of 4-anilinoquinazoline derivatives for the treatment of tumors
 INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 234 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094341	A1	20011213	WO 2001-GB2424	20010601
WO 2001094341	C2	20030417		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407371	AA	20011213	CA 2001-2407371	20010601
EP 1292594	A1	20030319	EP 2001-934176	20010601
EP 1292594	B1	20040901		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011335	A	20030610	BR 2001-11335	20010601
JF 2003535859	T2	20031202	JF 2002-501890	20010601
EE 200200673	A	20040615	EE 2002-673	20010601
NZ 522204	A	20040730	NZ 2001-522204	20010601
AT 275145	E	20040915	AT 2001-934176	20010601
ES 2225545	T3	20050316	ES 2001-1934176	20010601
US 2004214841	A1	20041028	US 2002-275382	20021105
ZA 2002009122	A	20040209	ZA 2002-9122	20021108
BG 107332	A	20030731	BG 2002-107332	20021128
NO 2002005792	A	20020202	NO 2002-5792	20021202
HK 1053115	A1	20050408	HK 2003-105395	20030725
PRIORITY APPLN. INFO.:			EP 2000-401581	A 20000606
			EP 2001-400297	A 20010207
			EP 2001-400565	A 20010305
			WO 2001-GB2424	W 20010601

OTHER SOURCE(S): MARPAT 136:20087
 GI

L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB The invention concerns quinazoline derivs. (I; e.g. 4-(2-chloro-5-methoxyanilino)-7-methoxy-5-(3-morpholinopropoxy)quinazoline (I)), processes for their preparation, pharmaceutical compns. containing them and their use in the manufacture of a medicament for use as an anti-invasive agent in the

containment and/or treatment of solid tumor disease. Although biol. assay methods are described, no test results are reported. It is believed that the antitumor activity is due to inhibition of one or more of the non-receptor tyrosine-specific protein kinases of the Src family that are involved in the signal transduction steps that lead to the invasiveness and migratory ability of metastasizing tumor cells. In I, according to the 1st claim, m = 0-3; each R1 = halo, trifluoromethyl, cyano, isocyano, nitro, hydroxy, mercapto, amino, formyl, carboxy, carbamoyl, (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, (1-6C)alkoxy, (2-6C)alkenyloxy, (2-6C)alkynioxy, (1-6C)alkylthio, (1-6C)alkylsulfanyl, (1-6C)alkylsulfonyl, (1-6C)alkylamino, di[(1-6C)alkyl]amino, (1-6C)alkoxycarbonyl, N-(1-6C)alkylcarbamoyl, N,N-di[(1-6C)alkyl]carbamoyl, (2-6C)alkanoyl, (2-6C)alkanoyloxy, (2-6C)alkanoylamino, N-(1-6C)alkyl-(2-6C)alkanoylamino, (3-6C)alkenoylamino, N-(1-6C)alkyl-(3-6C)alkenoylamino, (3-6C)alkynoylamino, N-(1-6C)alkyl-(3-6C)alkynoylamino, N-(1-6C)alkylsulfamoyl, N,N-di[(1-6C)alkyl]sulfamoyl, (1-6C)alkanesulfonylamino and N-(1-6C)alkyl-(1-6C)alkanesulfonylamino, or Q2-X1 (X1 = direct bond, O, S, SO, SO2, N(R4), CO, CH(OR4), CON(R4), N(R4)CO, SO2N(R4), N(R4)SO2, OC(R4)2, SC(R4)2 and N(R4)C(R4)2 (R4 = H or (1-6C)alkyl) and Q3 = aryl, aryl-(1-6C)alkyl, (3-7C)cycloalkyl, (3-7C)cycloalkenyl, (1-6C)alkyl, (3-7C)cycloalkenyl, (3-7C)cycloalkenyl-(1-6C)alkyl, heteroaryl, heteroaryl-(1-6C)alkyl, or heterocyclyl-(1-6C)alkyl, or (R1)m is (1-3C)alkylenedioxy, with addnl. optional substitution and/or insertion possible. R2 = H or (1-6C)alkyl; R3 = H or (1-6C)alkyl; Z = direct bond, O, S, SO, SO2, N(R11), CO, CH(OR11), CON(R11), N(R11)CO, SO2N(R11), N(R11)SO2, OC(R11)2, SC(R11)2 and N(R11)C(R11)2 (R11 = H, or (1-6C)alkyl). Q1 = aryl, aryl-(1-6C)alkyl, (3-7C)cycloalkyl, (3-7C)cycloalkenyl-(1-6C)alkyl, (3-7C)cycloalkenyl, (3-7C)cycloalkenyl-(1-6C)alkyl, heteroaryl, heteroaryl-(1-6C)alkyl, heterocyclyl or heterocyclyl-(1-6C)alkyl, or when Z is a direct bond or O, Q1 may be (1-6C)alkyl, (2-8C)alkenyl, (2-8C)alkynyl, halo-(1-6C)alkyl, hydroxy-(1-6C)alkyl, (1-6C)alkoxy-(1-6C)alkyl, cyano-(1-6C)alkyl, amino-(1-6C)alkyl, (1-6C)alkylamino-(1-6C)alkyl, di[(1-6C)alkyl]amino-(1-6C)alkyl, (1-6C)alkylthio-(1-6C)alkyl, (1-6C)alkylsulfanyl-(1-6C)alkyl or (1-6C)alkylsulfonyl-(1-6C)alkyl, with addnl. optional substitution and/or insertion possible. Q2 = substituted Ph. More than 50 example preps.

L4 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2001762976 CAPLUS

DOCUMENT NUMBER: 135:303906

TITLE: Preparation of quinazolines useful in the production of

an

INVENTOR(S):

antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal
Hennequin, Laurent Francois Andre; Stokes, Elaine
Sophie Elizabeth

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXDZ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077085	A1	20011018	WO 2001-GB1514	20010403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, B3, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG	AA	20011018	CA 2001-2403365	20010403
BR 2001009828	A	20021217	BR 2001-9828	20010403
EP 1274692	A1	20030115	EP 2001-921530	20010403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	T2	20031014	JP 2001-575560	20010403
JP 2003530387	A	20040924	NZ 2001-521421	20010403
NZ 521421	A	20040924	AU 2001-48507	20010403
AU 779695	B2	20050210	ZA 2002-7382	20020913
ZA 2002007382	A	20031215	NO 2002-4763	20021003
NO 2002004763	A	20021119	US 2002-240658	20021003
US 2003191308	A1	20031009	EP 2000-400967	A 20000407
PRIORITY APPLN. INFO.:			EP 2000-400968	A 20000407
			EP 2000-401033	A 20000413
			EP 2000-401034	A 20000413
			WO 2001-GB1514	W 20010403

OTHER SOURCE(S): MARPAT 135:303906

G1

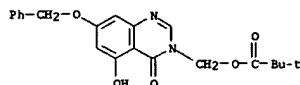
L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

are included. For example, I was obtained by adding di-tert-Bu azodicarboxylate (0.208 g) dropwise to a stirred mixt. of 4-(2-chloro-5-methoxyanilino)-5-hydroxy-7-methoxyquinazoline (0.2 g), 4-(3-hydroxypropyl)morpholine, PPh3 (0.237 g) and CH2Cl2 (3 ml). The reaction mixt. was stirred at ambient temp. for 1 h.

IT 379229-61-3P, 7-Benzylxy-5-hydroxy-3-pivaloyloxymethyl-3,4-dihydroquinazolin-4-one
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of anilinoquinazoline derivs. for treatment of tumors)

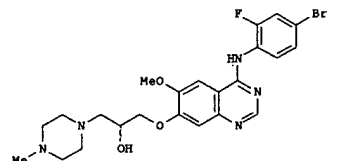
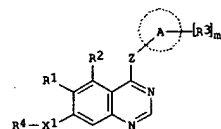
RN 379229-61-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-hydroxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

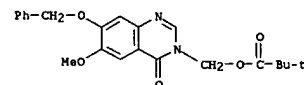
L4 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB The title compds. [I; ring A = Ph, 5-6 membered heterocyclic ring; Z = O, NH, S; m = 0-5; R1 = H, OH, halo, etc.; R2 = H, OH, halo, etc.; R3 = OH, halo, alkyl, etc.; provided that when ring A = 5-6 membered heterocyclic ring, at least one R3 is either OH or halo; X1 = O, CH2, S, etc.; R4 = is selected from a number of groups defined herein comprising an alkylene, alkenylene or alkynylene chain wherein each methylene group (other than that of the α-carbon) is optionally substituted by 1 substituent independently selected from OH, halo, NH2 and alkanoyloxy], useful in disease states such as cancer, rheumatoid arthritis and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of the quinazoline II which showed IC50 of 0.015-0.05 μM against the tyrosine kinase activity associated with VEGF receptor (KDR; in vitro), was given.

IT 193002-24-3P
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazolines useful in the production of an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal)
RN 193002-24-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

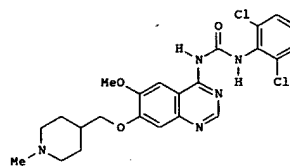


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

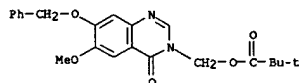
L4 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:676589 CAPLUS
DOCUMENT NUMBER: 135:227013
TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists
INVENTOR(S): Hennequin, Laurent Francois Andre; Crawley, Graham Charles; McErrecher, Darren; Pile, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066099	A2	20010913	WO 2001-GB863	20010301
WO 2001066099	A3	20020321		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1272185	A2	20030108	EP 2001-907938	20010301
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003525897	T2	20030902	JP 2001-564752	20010301
US 2003225111	A1	20031204	US 2002-220140	20020828
PRIORITY APPL. INFO.:			EP 2000-400595	A 20000306
			WO 2001-GB863	W 20010301
OTHER SOURCE(S):	MARPAT 135:227013			
GI				



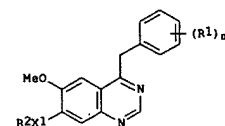
AB Q1NR2C(X)NR3Q2 [I: Q1 = e.g., (un)substituted, 4-quinazolinyl; Q2 =

L4 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2, R3 = H or alkyl;
R2R3 = (CH2)1-3; X = O, S, NCN, [alkylimino] were prep. Thus, Et
piperidine-4-carboxylate was converted in 7 steps to Et
2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was
cyclocondensed with HC(=NH)NH2.HOAc and the product converted in 4 steps
to title compd. II. Data for biol. activity of I were given.
IT 193002-24-3P, 7-Benzyloxy-6-methoxy-3-pivaloyloxymethyl-3,4-
dihydroquinazolin-4-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of quinazolinylureas and analogs as VEGF receptor
antagonists)
RN 193002-24-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-
quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

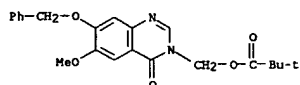


L4 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338522 CAPLUS
DOCUMENT NUMBER: 134:353317
TITLE: Preparation of 4-anilino-7-piperidinylquinazolinones
as vascular endothelial growth factor inhibitors.
Hennequin, Laurent Francois Andre; Stokes, Elaine
Sophie Elizabeth; Thomas, Andrew Peter
AstraZeneca AB, Swed.; AstraZeneca UK Ltd.
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032651	A1	20010510	WO 2000-GB4181	20001101
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2389767	AA	20010510	CA 2000-2389767	20001101
BR 2000015203	A	20020716	BR 2000-15203	20001101
EP 1244647	A1	20021002	EP 2000-974667	20001101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003513089	T2	20030408	JP 2001-534802	20001101
JP 3522727	B2	20040426		
EE 200200237	A	20030616	EE 2002-237	20001101
AU 769222	B2	20040122	AU 2001-12886	20001101
NZ 518028	A	20040326	NZ 2000-518028	20001101
ZA 2002002775	A	20031203	ZA 2002-2775	20020409
BG 106659	A	20030331	BG 2002-106659	20020426
NO 2002002139	A	20020503	NO 2002-2139	20020503
PRIORITY APPL. INFO.:			EP 1999-402759	A 19991105
			EP 1999-402877	A 19991119
			WO 2000-GB4181	W 20001101
OTHER SOURCE(S):	MARPAT 134:353317			
GI				



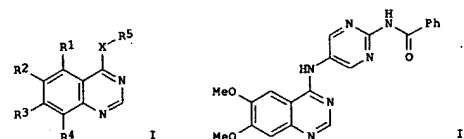
L4 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 AB Title compds. [I: m = 1-3; R1 = halo, alkyl; X1 = O; R2 = (substituted) piperidin-4-ylalkyl, -alkenyl, -alkynyl], were prepared Thus, 4-chloro-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline (preparation given) and 4-bromo-2-fluoroaniline were refluxed 1.5 h. in Me₂CHOH containing HCl to give 90% 4-(4-bromo-2-fluoroanilino)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline hydrochloride. The latter inhibited VEGF with IC₅₀ = 0.06 µM.
 IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 4-anilino-7-piperidinyloxyquinazolines as vascular endothelial growth factor inhibitors)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



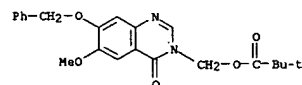
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 2001:228867 CAPLUS
 DOCUMENT NUMBER: 134:266318
 TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
 PATENT ASSIGNEE(S): AstraZeneca AB, Sued.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384296	AA	20010329	CA 2000-2384296	20000919
BR 2000014137	A	20020521	BR 2000-14137	20000919
TR 200200717	T2	20020621	TR 2002-200200717	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
ZA 2002002232	A	20030619	ZA 2002-2232	20020319
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921
			WO 2000-GB3593	W 20000919
OTHER SOURCE(S):		MARPAT 134:266318		
GI				



L4 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
 AB Title compds. (I) [wherein X = O, S, SO, SO₂, NH, or NR₆; R₆ = H or alkyl; R₅ = (un)substituted 6-membered aromatic ring containing at least one N; R1-R4 = independently halo, CN, NO₂, alkylsulfanyl, N(OH)R₇, or R₉X₁; R₇ = H or alkyl; X1 = a direct bond, O, CH₂, OC(O), CO, S, SO, SO₂, or (un)substituted NHCO, CONH, SO₂NH, NHO₂, or NH; R₉ = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R₂ or R₃ is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.00785 µM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7 µM and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848 µM.
 IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediates; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

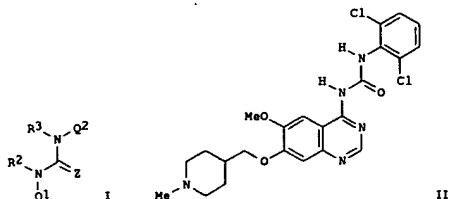


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 2001:50631 CAPLUS
 DOCUMENT NUMBER: 134:100885
 TITLE: Preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions
 INVENTOR(S): Crawley, Graham Charles; McKerrecher, Darren; Foyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Fle, Patrick; Lambert, Christine Marie-Paul
 PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK; Zeneca Pharma S.A.
 SOURCE: PCT Int. Appl., 169 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2378291	AA	20010118	CA 2000-2378291	20000704
BR 2000012157	A	20020402	BR 2000-12157	20000704
EP 1218353	A1	20020703	EP 2000-953271	20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504360	T2	20030204	JP 2001-509712	20000704
ZA 2001009864	A	20030228	ZA 2001-9864	20011129
NO 2002000042	A	20020304	NO 2002-42	20020104
US 6806274	B1	20041019	US 2002-19945	20020107
PRIORITY APPLN. INFO.:			EP 1999-401692	A 19990707
			EP 2000-401221	A 20000504
			WO 2000-GB2566	W 20000704
OTHER SOURCE(S):		MARPAT 134:100885		
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L4 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



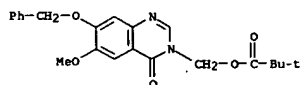
AB The title compds. (I; Q1 = quinazoline ring optionally substituted with halo, CF₃ or CN, or a group X1Q3 (wherein X1 = a direct bond, O; Q3 = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R2, R3 = H, alkyl; Z = O, S, NH; Q2 = aryl, arylalkyl) and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepared and formulated. E.g., a multi-step synthesis of the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC₅₀ of 0.0001- 5 μ M against enzyme p56lck binding and IC₅₀ of 0.001-10 μ M in in vitro T cell proliferation assay (T cell receptor stimulation).

IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolinyl ureas, thioureas and guanidines for use in the

prevention or treatment of T cell mediated diseases or medical conditions)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

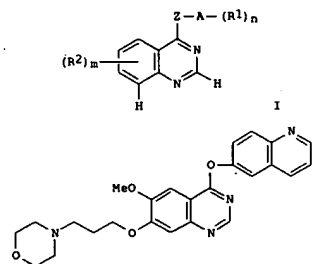
L4 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2000:573671 CAPLUS
 DOCUMENT NUMBER: 133:177183
 TITLE: Preparation of quinazoline derivatives as angiogenesis inhibitors
 INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren
 PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.
 SOURCE: PCT Int. Appl., 346 pp.
 DOCUMENT TYPE: CODEN: PINXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047212	A1	20000817	WO 2000-GB373	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RW: GH, GM, KE, LS, MW, SO, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362715	AA	20000817	CA 2000-2362715	20000208
EP 1154774	A1	20011121	EP 2000-902730	20000208
EP 1154774	B1	20050622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102314	T2	20020121	TR 2001-200102314	20000208
BR 2000008128	A	20020213	BR 2000-8128	20000208
JP 2002536414	T2	20021029	JP 2000-598164	20000208
EE 200100409	A	20021216	EE 2001-409	20000208
AU 763618	B2	20030731	AU 2000-24475	20000208
NZ 513204	A	20040430	NZ 2000-513204	20000208
ZA 2001006340	A	20021101	ZA 2001-6340	20010801
NO 2001003882	A	20011009	NO 2001-3882	20010809
PRIORITY APPLN. INFO.:			EP 1999-400305	A 19990210
OTHER SOURCE(S):		MARPAT 133:177183	WO 2000-GB373	W 20000208
GI				

L4 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

L4 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

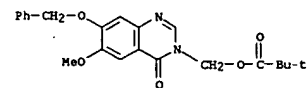


AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH₂, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO₂, CF₃, alkyl(sulfanyl), alkoxy, NR₃N₄, or R₅X₁; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH₂, OC(O), CO, S, SO, SO₂, NR₆CO, CONR₇, SO₂NR₈, NR₉SO₂, or NR₁₀; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzoyloxy-5-methoxybenzamide using Gold's reagent in dioxane to form 7-benzoyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (841). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT 193002-24-3P, 7-Benzoyloxy-6-methoxy-3-[(pivaloyloxymethyl)-3,4-dihydroquinazolin-4-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2000:260277 CAPLUS
 DOCUMENT NUMBER: 132:293771
 TITLE: Preparation of quinazolines as VEGF receptor tyrosine kinase inhibitors
 INVENTOR(S): Hennequin, Laurent Francois Andre; Pasquet, Georges
 PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.
 SOURCE: PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

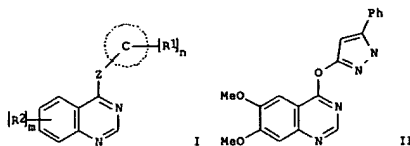
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021955	A1	20000420	WO 1999-GB3295	19991005
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344290	A1	20000420	CA 1999-2344290	19991005
AU 9961128	A1	20000501	AU 1999-61128	19991005
AU 756556	B2	20030116		
BR 9914326	A	20010626	BR 1999-14326	19991005
EP 1119567	A1	20010801	EP 1999-947758	19991005
EP 1119567	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, SI, LT, LV, FI, RO				
JP 200252436	T2	20020827	JP 2000-575861	19991005
NZ 510434	A	20031031	NZ 1999-510434	19991005
AT 294796	E	20050515	AT 1999-947758	19991005
ZA 2001002655	A	20020930	ZA 2001-2655	20010330
NO 2001001739	A	20010607	NO 2001-1739	20010406
PRIORITY APPLN. INFO.:			EP 1998-402496	A 19981008
			WO 1999-GB3295	W 19991005
OTHER SOURCE(S):		MARPAT 132:293771		
GI				

L4 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2000:241203 CAPLUS
 DOCUMENT NUMBER: 132:265207
 TITLE: Preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease
 INVENTOR(S): Cumming, John Graham
 PATENT ASSIGNEE(S): Zeneca Limited, UK
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020402	A1	20000413	WO 1999-GB3220	19990927
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341374	A1	20000413	CA 1999-2341374	19990927
AU 9961064	A1	20000426	AU 1999-61064	19990927
AU 761552	B2	20030605		
BR 9914162	A	20010626	BR 1999-14162	19990927
EP 1117653	A1	20010725	EP 1999-947686	19990927
EP 1117653	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, SI, LT, LV, FI, RO				
JP 2002526538	T2	20020820	JP 2000-574519	19990927
AT 232205	E	20030215	AT 1999-947686	19990927
NZ 510210	A	20030630	NZ 1999-510210	19990927
PT 1117653	T	20030630	PT 1999-947686	19990927
ES 2191462	T3	20030901	ES 1999-947686	19990927
ZA 2001002187	A	20020618	ZA 2001-2187	20010315
US 6593333	B1	20030715	US 2001-787883	20010323
NO 2001001631	A	20010521	NO 2001-1631	20010330
HK 1037367	A1	20030822	HK 2001-108138	20011119
US 2003216417	A1	20031120	US 2003-441084	20030520
US 6716847	B2	20040406		
PRIORITY APPLN. INFO.:			GB 1998-21338	A 19981001
			GB 1999-6564	A 19990323
			WO 1999-GB3220	W 19990927
			US 2001-787883	A3 20010323
OTHER SOURCE(S):		MARPAT 132:265207		
GI				

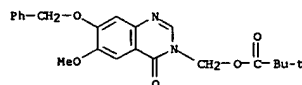
L4 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB The title compds. [I: ring C = 5-6 membered heterocyclic moiety; Z = O, NH, S, CH2; R1 = H, alkyl, alkoxyethyl, etc.; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.] and their salts which inhibit the effects of VEGF, and therefore useful in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of quinazoline II was given. Compds. I are effective at 1-50 mg/kg/day.

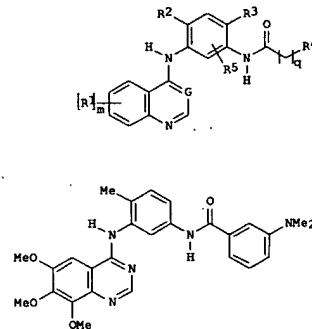
IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolines as VEGF receptor tyrosine kinase inhibitors)

RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

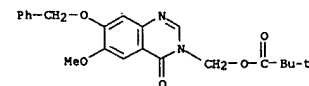
L4 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



AB The title compds. [I: G = N, CH; R1 = OH, halo, CF3, etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF3; m = 1-3; q = 0-4] and their pharmaceutically acceptable salts or in vivo cleavable esters, useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. E.g., a multi-step synthesis of II which showed IC50 of 0.2 µM against p38α kinase and IC50 of 5.2 µM against TNFα production, was given.

IT 193002-24-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-anilinoquinazolines and 4-anilinoquinolines as inhibitors of cytokine mediated disease)

RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:784580 CAPLUS

DOCUMENT NUMBER: 132:151769

TITLE: Design and Structure-Activity Relationship of a New Class of Potent VEGF Receptor Tyrosine Kinase Inhibitors

AUTHOR(S): Hennequin, Laurent F.; Thomas, Andrew P.; Johnstone, Craig; Stokes, Elaine S. E.; Ple, Patrick A.; Lohmann, Jean-Jacques M.; Ogilvie, Donald J.; Dukes, Mike; Wedge, Steve R.; Curven, Jon O.; Kendrew, Jane; Lambert-van der Brempt, Christine

CORPORATE SOURCE: AstraZeneca Zeneca Pharma Centre de Recherches Z.I. La Pompelle, Reims, 51689, Fr.

SOURCE: Journal of Medicinal Chemistry (1999), 42(26), 5369-5389

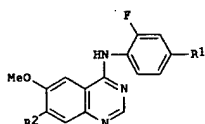
CODEN: JMCMAH; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of substituted 4-anilinoquinazolines and related compds. were synthesized as potential inhibitors of vascular endothelial growth factor (VEGF) receptor (Flt and KDR) tyrosine kinase activity. Enzyme screening indicated that a narrow structure-activity relationship (SAR) existed for the bicyclic ring system, with quinazolines, quinolines, and cinnolines having activity and with quinazolines and quinolines generally being preferred. Substitution of the aniline was investigated and clearly indicated that small lipophilic substituents such as halogens or Me were preferred at the C-4' position. Small substituents such as hydrogen and fluorine are preferred at the C-2' position. Introduction of a hydroxyl group at the meta position of the aniline produced the most potent inhibitors of Flt and KDR tyrosine kinases activity with IC50 values in the nanomolar range. Investigation of the quinazoline C-6 and C-7 positions indicates that a large range of substituents are tolerated at C-7, whereas variation at the C-6 is more restricted. At C-7, neutral, basic, and heteroatom side chains led to very potent compds., as illustrated by the methoxyethoxy derivative I [R1 = 4-Cl, R2 = OCH2CH2OMe] (IC50 < 2 nM). These inhibitors proved to be very selective inhibitors of Flt and KDR tyrosine kinase activity when compared to that associated with the FGF receptor (50- to 3800-fold). Observed enzyme profiles translated well with respect to potency and selectivity for inhibition of growth factor stimulated proliferation of human umbilical vein endothelial cells (HUVECs). Oral administration of selected compds. to mice produced total

L4 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:166618 CAPLUS

DOCUMENT NUMBER: 130:209715

TITLE: Preparation of oxindolylquinazolines as angiogenesis inhibitors

INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Lohmann, Jean-Jacques Marcel; Thomas, Andrew Peter

PATENT ASSIGNER(S): Zeneca Limited, UK; Zeneca-Pharma S.A.

SOURCE: PCT Int. Appl., 135 pp.

CODEN: PIXXKD

DOCUMENT TYPE: Patent

LANGUAGE: English

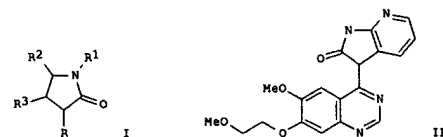
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910349	A1	19990304	WO 1998-GB2493	19980819
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GR, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9888162	A1	19990316	AU 1998-88162	19980819
EP 1005470	A1	20000607	EP 1998-939756	19980819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001514182	T2	20010911	JP 2000-507677	19980819
US 6294532	B1	20010925	US 2000-486051	20000503
PRIORITY APPL. INFO:				
			EP 1997-401972	A 19970822
			EP 1997-401973	A 19970822
			EP 1997-401974	A 19970822
			WO 1998-GB2493	W 19980819

OTHER SOURCE(S): MARPAT 130:209715

GI



AB Title compds. [I: R = 5-8 (un)substituted 4-quinazoliny]; R1 = H, alkyl, (di)alkoxymethyl, alkanoyl; R2R3 = atoms to complete a heterocyclic ring] were prepared as angiogenesis inhibitors (no data). Thus, 4-chloro-6-methoxy-7-(2-methoxyethoxy)quinazoline (preparation given) was condensed with 7-azaindole to give title compound II.

IT 193002-24-3P 196603-88-0P

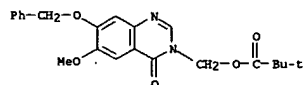
L4 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

plasma levels 6 h after dosing of between 3 and 49 µM. In vivo efficacy was demonstrated in a rat uterine edema assay where significant activity was achieved at 60 mg/kg with I (R1 = Me, R2 = OMe). Inhibition of growth of human tumors in athymic mice has also been demonstrated: I (R1 = Br, R2 = 2-(1,2,3-triazol-1-yl)ethoxy) inhibited the growth of established Calu-6 lung carcinoma xenograft by 75% (P < 0.001, one tailed t-test) following daily oral administration of 100 mg/kg for 21 days.

IT 193002-24-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship of arylaminoquinazoline VEGF receptor tyrosine kinase inhibitors)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazoliny]methyl ester (9CI) (CA INDEX NAME)



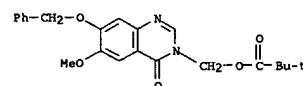
REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

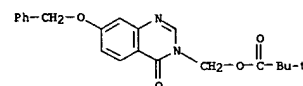
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxindolylquinazolines as angiogenesis inhibitors)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazoliny]methyl ester (9CI) (CA INDEX NAME)



RN 196603-88-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [4-oxo-7-(phenylmethoxy)-3(4H)-quinazoliny]methyl ester (9CI) (CA INDEX NAME)

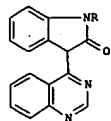


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1997:756964 CAPLUS
 DOCUMENT NUMBER: 128:22920
 TITLE: Oxindolylquinazoline derivatives as angiogenesis inhibitors
 INVENTOR(S): Thomas, Andrew Peter; Hennequin, Laurent Francois
 Andre; Lohmann, Jean-Jacques Marcel; Fle, Patrick
 Zeneca Limited, UK; Zeneca Pharma S.A.; Thomas, Andrew
 Peter; Hennequin, Laurent Francois Andre; Lohmann,
 Jean-Jacques Marcel; Fle, Patrick
 SOURCE: PCT Int. Appl., 164 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742187	A1	19971113	WO 1997-GB1211	19970502
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: CH, KE, LS, MW, SD, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726475	A1	19971126	AU 1997-26475	19970502
EP 912557	A1	19990506	EP 1997-918293	19970502
EP 912557	B1	20030709		
R: CH, DE, FR, JP 2000510115	T2	20000808	JP 1997-539644	19970502
ZA 9703844	A	19971106	ZA 1997-3844	19970505
US 6265411	B1	20010724	US 1998-180310	19981106
PRIORITY APPL. INFO.:			EP 1996-400956	A 19960506
			EP 1996-400957	A 19960506
			EP 1996-402762	A 19961217
			EP 1996-402763	A 19961217
			WO 1997-GB1211	W 19970502

OTHER SOURCE(S): MARPAT 128:22920
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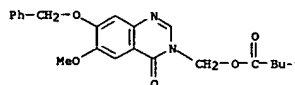
L4 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1997:640511 CAPLUS
 DOCUMENT NUMBER: 127:278209
 TITLE: Preparation of 4-anilinoquinazolines for use in the treatment of disease states associated with antiangiogenesis and/or increased vascular permeability
 INVENTOR(S): Thomas, Andrew Peter; Hennequin, Laurent Francois
 Andre; Johnstone, Craig
 PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.; Thomas, Andrew
 Peter; Hennequin, Laurent Francois Andre; Johnstone,
 Craig
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732856	A1	19970912	WO 1997-GB550	19970228
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RW: CH, KE, LS, MW, SD, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9701747	A	19980827	ZA 1997-1747	19970227
CA 2244897	AA	19970912	CA 1997-2244897	19970228
AU 9718664	A1	19970922	AU 1997-18664	19970228
AU 719327	B2	20000504		
EP 885198	A1	19981223	EP 1997-906814	19970228
EP 885198	B1	20011219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1212684	A	19990331	CN 1997-192807	19970228
CN 1116286	B	20030730		
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JP 2000517291	T2	20001226	JP 1997-531552	19970228
AT 211134	E	20020115	AT 1997-906814	19970228
PT 885198	T	20020628	PT 1997-906814	19970228
ES 2169355	T3	20020701	ES 1997-906814	19970228
IL 125954	A1	20030624	IL 1997-125954	19970228
TW 542826	B	20030721	TW 1997-86102593	19970304
NO 9804085	A	19980904	NO 1998-4085	19980904
NO 311427	B1	20011126		
US 6291455	B1	20010918	US 1998-142339	19980908
PRIORITY APPL. INFO.:			EP 1996-400468	A 19960305
			EP 1996-401499	A 19960708
			WO 1997-GB550	W 19970228

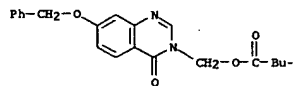
OTHER SOURCE(S): MARPAT 127:278209
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L4 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

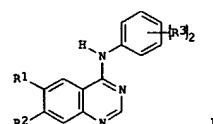
AB Title compds. I [R = H, alkyl, alkoxyethyl, dialkoxyethyl, alkanoyl and the benzene rings may be further substituted] were prepared for use in inhibiting angiogenesis and reducing vascular permeability (no data). Thus, 4,5-dimethoxyanthranilic acid was converted to 6,7-dimethoxyquinazoline by treatment with HCONH2 and was treated with 1-methylindole to give 6,7-dimethoxy-4-(1-methyl-3-oxindolyl)quinazoline.
 IT 193002-24-3P 196603-88-0P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxindolylquinazoline derivs. as angiogenesis and vascular permeability inhibitors)
 RN 193002-24-3 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



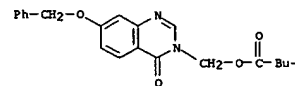
RN 196603-88-0 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. I [R1 = H, MeO; R2 = MeO, EtO, 2-MeO(CH2)2O, etc.; R3 = halo, OH, CN, etc.] and their salts, inhibiting the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis, were prepared and formulated. Thus, reaction of 4-chloro-7-(2-methoxyethoxy)quinazoline.HCl with 4-chloro-2-fluoroaniline in iPrOH afforded 84% I [R1 = H; R2 = 2-MeO(CH2)2O; R3 = 4-Cl, 2-F]. Compds. I are effective at 1-50 mg/kg.
 IT 196603-88-0P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 4-anilinoquinazolines for use in the treatment of disease states associated with antiangiogenesis and/or increased vascular permeability)
 RN 196603-88-0 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:502972 CAPLUS

DOCUMENT NUMBER: 127:135808

TITLE: Preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivatives

INVENTOR(S): Lohmann, Jean-Jacques Marcel; Hennequin, Laurent
Francois Andre; Thomas, Andrew Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.; Lohmann, Jean-Jacques Marcel; Hennequin, Laurent Francois Andre; Thomas, Andrew Peter

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

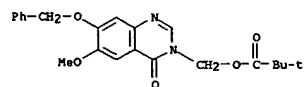
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722596	A1	19970626	WO 1996-GB3075	19961213
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2237005	AA	19970626	CA 1996-2237005	19961213
AU 9711061	A1	19970714	AU 1997-11061	19961213
AU 712370	B2	19991104		
EP 873319	A1	19981028	EP 1996-941787	19961213
EP 873319	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1205694	A	19990120	CN 1996-199110	19961213
CN 1133625	B	20040107		
BR 9612043	A	19991228	BR 1996-12043	19961213
JP 2000515114	T2	20001114	JP 1997-522568	19961213
AT 203524	E	20010815	AT 1996-941787	19961213
ES 2162656	T3	20020101	ES 1996-941787	19961213
PT 873319	T	20020130	PT 1996-941787	19961213
SK 282443	B6	20020205	SK 1998-828	19961213
CZ 291100	B6	20021211	CZ 1998-1882	19961213
RU 2194701	C2	20021220	RU 1998-113300	19961213
ZA 9610597	A	19970618	ZA 1996-10597	19961217
US 5962458	A	19991005	US 1996-768887	19961217
TW 411274	B	20001111	TW 1996-85115569	19961217
NO 9802784	A	19980817	NO 1998-2784	19980617
NO 311358	B1	20011119		
US 6071921	A	20000606	US 1998-203764	19981202
US 6258951	B1	20010710	US 2000-500470	20000209
US 2002032208	A1	20020314	US 2001-877005	20010611
US 6362336	B2	20020326		
GR 3036954	T3	20020131	GR 2001-401823	20011019
PRIORITY APPL. INFO.:			EP 1995-402846	A 19951218

L4 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)



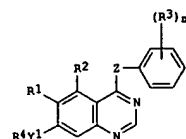
L4 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

EP 1996-402190 A 19961015
 EP 1996-941787 A 19961213
 WO 1996-GB3075 W 19961213
 US 1996-768887 A1 19961217
 US 1998-203764 A1 19981202
 US 2000-500470 A3 20000209

OTHER SOURCE(S): MARPAT 127:135808

GI



AB Quinazoline derivs. I [VI represents -O-, -S-, -CH2-, -SO-, -SO2-, NR5CO-, -CONR6-, -SO2NR7-, -NR8SO2- or -NR9- (wherein R5, R6, R7, R8 and R9 each independently represents hydrogen, alkyl or alkoxyalkyl); R1 represents hydrogen, hydroxy, halo, nitro, trifluoromethyl, cyano, alkyl, alkoxy, alkylthio, amino, alkylamino; R2 represents hydrogen, hydroxy, halo, alkyl, alkoxy, trifluoromethyl, cyano, amino, nitro; m is an integer from 1 to 5; R3 represents hydroxy, halo, alkyl, alkoxy, alkanoyloxy, trifluoromethyl, cyano, amino, nitro; R4 represents a group which is or which contains an optionally substituted pyridone, Ph or aromatic heterocyclic group] were prepared. I inhibit the effects of VEGF (no data), a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis. E.g., heating a mixture of 2-amino-4-benzoyloxy-5-methoxybenzamide and Gold's reagent, followed by NaOAc and HOAc, gave 7-benzoyloxy-6-methoxy-3,4-dihydroquinazolin-4-one. The product was treated with thionyl chloride, then 3-acetoxy-4-methylaniline, and next hydrogenolyzed to give 4-(3-acetoxy-4-methylanilino)-7-hydroxy-6-methoxyquinazolin-4-one hydrochloride. The last was reacted with 4-(8-bromomethyl)pyridine hydrobromide and treated with aqueous NaOH to give 4-(3-hydroxy-4-methylanilino)-6-methoxy-7-(4-pyridylmethoxy)quinazolin-4-one hydrochloride.

IT 193002-24-39
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiangiogenic and/or vascular permeability reducing effect of quinazoline derivs.)

RN 193002-24-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [6-methoxy-4-oxo-7-(phenylmethoxy)-3(4H)-quinazolinyl]methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:212749 CAPLUS

DOCUMENT NUMBER: 110:212749

TITLE: Heterocyclic quinones. XIII. Dimerization in the series of 5,8-quinazolinones: synthesis and antitumor effects of bis(4-amino-5,8-quinazolinones)

AUTHOR(S): Giorgi-Renault, Sylviane; Renault, Jean; Baron, Michel; Gebel-Servolles, Patricia; Delic, Jozsef; Cros, Suzanne; Paoletti, Claude

CORPORATE SOURCE: Fac. Sci. Pharm. Biol., Univ. Rene Descartes, Paris, 75270, Fr.

SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(10), 3933-47

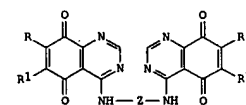
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:212749

GI



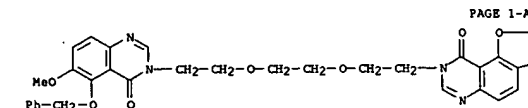
AB A series of dimers, e.g., I [R = H, R1 = OMe; R = R1 = 1-aziridinyl; Z = CH2CH2, (CH2)7, (CH2)3NMe(CH2)3, CH2(CH2OCH2)2CH2], of 5,8-quinazolinones linked in the 4-position by a simple or a substituted a,e-diaminopolymethylene chain was studied. The structure-activity relationships of I are discussed as functions of the chain length, presence or absence of other functional groups, nature of these groups, position of the chain, and nature of R and R1. I (R = OMe) showed variable cytotoxicity toward L1210 leukemia cells. I (R = R1 = 1-aziridinyl) which exhibited high cytotoxic activity (IC50 = 0.0037 to 0.018 µM) were further screened in vivo for activity against murine P388 leukemia. The most potent compound was I [R = R1 = 1-aziridinyl; Z = (CH2)3NMe(CH2)3].

IT 120622-47-18
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and debenzoylation of)

RN 120622-47-1 CAPLUS

CN 4(3H)-Quinazolinone, 3,3'-[1,2-ethanediylbis(oxo-2,1-ethanediyl)]bis[6-methoxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



PAGE 1-A

-CH₂-Ph

-OMe

ACCESSION NUMBER: 1968:78244 CAPLUS
 DOCUMENT NUMBER: 68:78244
 TITLE: Synthesis of 6,7-dihydroxy-2-methyl-4-quinazolone
 AUTHOR(S): Gadekar, Shreekrishna M.; Kotsen, Anna M.
 CORPORATE SOURCE: Lederle Lab. Div., Amer. Cyanamide Co., Pearl River, NY, USA
 SOURCE: Journal of Heterocyclic Chemistry (1968), 5(1), 129-31
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB 3,4-(PhCH₂O)₂-C₆H₃CHO reacted with HNO₃ to give 2,4,5-(O₂N)(PhCH₂O)₂-C₆H₂CHO, which upon KMnO₄ treatment gave 2,4,5-(O₂N)(PhCH₂O)₂-C₆H₂CO₂H. The latter compound treated with FeSO₄ in NH₃ gave 2,4,5-(H₂N)(PhCH₂O)₂-C₆H₂CO₂H, which upon treatment with Ac₂O gave 6,7-dibenzoyloxy-2-methyl-4H-3,1-benzoxazin-4-one (I). I treated with NH₃ gave 6,7-dibenzoyloxy-2-methyl-3H-quinazolin-4-one which upon reduction gave the title compound (II). II was prepared in a higher yield by treatment of I with PhCH₂NH₂, followed by stepwise reduction
 IT 18100-54-4P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 18100-54-4 CAPLUS
 CN 4(3H)-Quinazolinone, 3-benzyl-6,7-bis(benzoyloxy)-2-methyl- (8CI) (CA INDEX NAME)

